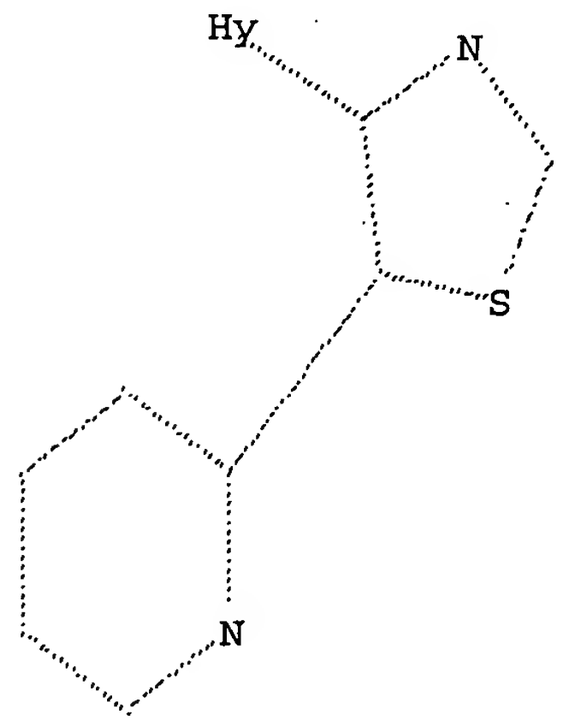
```
5-7  8-14
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  7-8  7-11  8-9  9-10  10-11
exact/norm bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  7-8  7-11  8-9  8-14  9-10  10-11
isolated ring systems :
containing 1 : 7 :


G1:O,S

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  14:Atom
```

```
L1      STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1                 STR
```




```
G1 O,S

Structure attributes must be viewed using STN Express query preparation.


=> s l1 ful
FULL SEARCH INITIATED 16:51:32 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     8099 TO ITERATE

100.0% PROCESSED     8099 ITERATIONS                          31 ANSWERS
SEARCH TIME: 00.00.01

L2            31 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               172.10     172.31
```

FILE 'CAPLUS' ENTERED AT 16:51:37 ON 27 MAR 2007

FILE COVERS 1907 - 27 Mar 2007 VOL 146 ISS 14
FILE LAST UPDATED: 26 Mar 2007 (20070326/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l2
L3 7 L2

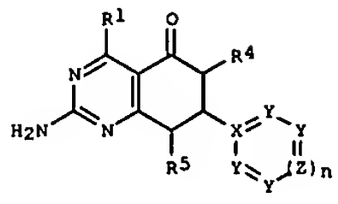
=> d fbib ed abs hitstr tot

L3 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1124920 CAPLUS
DN 145:455028
TI 2-Aminoquinazolin-5-ones and their preparation, pharmaceutical compositions and used in the treatment of cell proliferative diseases
IN Machajewski, Timothy D.; Gao, Zhenhai; Levine, Barry H.; Antonios-McCrea, William; Bellamacina, Cornelia R.; Costales, Abran; Doughan, Brandon M.; Fong, Susan; Hendrickson, Thomas; Lin, Xiaodong; McBride, Christopher; McKenna, Maureen; Rico, Alice C.; Shafer, Cynthia M.; Wang, X. Michael; Zhou, Yasheen; Xia, Yi; Mendenhall, Kris G.
PA Chiron Corporation, USA
SO PCT Int. Appl., 155pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

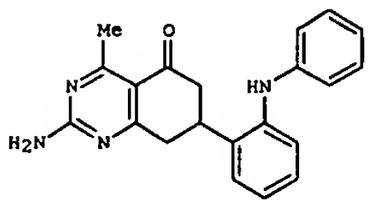
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006113498	A2	20061026	WO 2006-US14194	20060414
WO 2006113498	A3	20070111		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
			US 2005-671662P	P 20050414
US 2007027150	A1	20070201	US 2006-404372	20060414
			US 2005-671662P	P 20050414

OS MARPAT 145:455028
ED Entered STN: 27 Oct 2006
GI

L3 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I

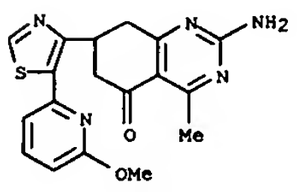


II

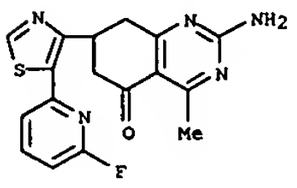
AB 2-Amino-quinazolin-5-one compds. of formula I, stereoisomers, tautomers, pharmaceutically acceptable salts, and prodrugs thereof; compns. that include a pharmaceutically acceptable carrier and one or more of the 2-amino-quinazolin-5-one compds., either alone or in combination with at least one addnl. therapeutic agent. Methods of using the 2-amino-quinazolin-5-one compds. of formula I, either alone or in combination with at least one addnl. therapeutic agent, in the prophylaxis or treatment of cell proliferative diseases. Compds. of formula I wherein n is 0 and 1; when n is 1, X is C, each Y is independently CQ1 and N, and Z is CR2 and N; when n is 0, C is C and N, each Y is independently CQ1, N, NQ2, O and S; Q1 is H, halo, (un)substituted C1-6 alkyl, (un)substituted C2-6 alkenyl, (un)substituted C2-6 alkynyl, (un)substituted C3-7 cycloalkyl, (un)substituted C5-7 cycloalkenyl, (un)substituted (hetero)aryl, (un)substituted amino, CN, NO2 etc.; Q2 is H, (un)substituted C1-6 alkyl, (un)substituted C2-6 alkenyl, (un)substituted C2-6 alkynyl, (un)substituted C3-7 cycloalkyl, (un)substituted C5-7 cycloalkenyl, (un)substituted (hetero)aryl, and (un)substituted heterocyclyl; R1 is H, halo, OH, C1-6 alkoxy, thiol, C1-6 alkylthiol, (un)substituted C1-6 alkyl, amino, alkylamino, arylamino, etc.; R2 is H, halo, (un)substituted C1-6 alkyl, OH and derivs., SH and derivs., and NH2 and derivs.; R4 and R5 are independently H, halo, (un)substituted C1-6 alkyl, OH and derivs., SH and derivs., NH2 and derivs., OCOH and derivs., NHC(O)H and derivs. and NHSO2H and derivs.; and their stereoisomers, tautomers, and pharmaceutically acceptable salts are claimed. Example compound II was prepared by coupling of 2-amino-4-methyl-7-(2-bromophenyl)quinazolin-5-one with aniline. All the invention compds. were evaluated for their HSP90 inhibitory activity. From the assay, it was detd. that the some of the compds. exhibited IC50 values less than about 0.1 μM.

IT 913374-58-0P 913374-61-5P 913374-64-8P 913374-65-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aminoquinazolinone compds. useful in treatment and prophylaxis of cell proliferative diseases)

RN 913374-58-0 CAPLUS
CN 5(6H)-Quinazolinone, 2-amino-7,8-dihydro-7-[5-(6-methoxy-2-pyridinyl)-4-thiazolyl]-4-methyl- (9CI) (CA INDEX NAME)



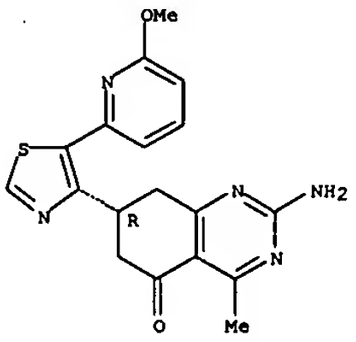
RN 913374-61-5 CAPLUS
CN 5(6H)-Quinazolinone, 2-amino-7-[5-(6-fluoro-2-pyridinyl)-4-thiazolyl]-7,8-dihydro-4-methyl- (9CI) (CA INDEX NAME)



RN 913374-64-8 CAPLUS
CN 5(6H)-Quinazolinone, 2-amino-7,8-dihydro-7-[5-(6-methoxy-2-pyridinyl)-4-thiazolyl]-4-methyl-, (7R)- (9CI) (CA INDEX NAME)

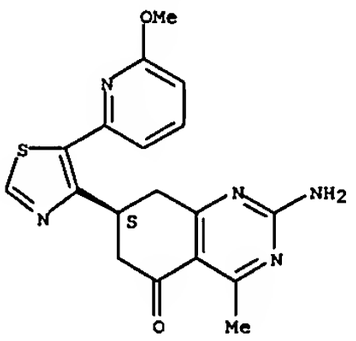
Absolute stereochemistry.

L3 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 913374-65-9 CAPLUS
CN 5(6H)-Quinazolinone, 2-amino-7,8-dihydro-7-[5-(6-methoxy-2-pyridinyl)-4-thiazolyl]-4-methyl-, (7S)- (9CI) (CA INDEX NAME)

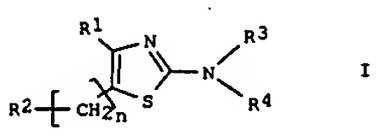
Absolute stereochemistry.



L3 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:612283 CAPLUS
DN 143:133362
TI Synthesis of Thiazole derivatives for adenosine A2A receptor antagonist
IN Nakajima, Takao; Sugawara, Masamori; Uchida, Shinichi; Ohno, Tetsuji; Nomoto, Yuji; Uesaka, Noriaki; Nakasato, Yoshisuke
PA Kyowa Hakko Kogyo Co., Ltd., Japan
SO PCT Int. Appl., 394 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI WO 2005063743	A1	20050714	WO 2004-JP19778		20041224
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
			JP 2003-432777	A	20031226
AU 2004309279	A1	20050714	AU 2004-309279		20041224
			JP 2003-432777	A	20031226
			WO 2004-JP19778	W	20041224
CA 2551611	A1	20050714	CA 2004-2551611		20041224
			JP 2003-432777	A	20031226
			WO 2004-JP19778	W	20041224
EP 1700856	A1	20060913	EP 2004-808128		20041224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS					
			JP 2003-432777	A	20031226
			WO 2004-JP19778	W	20041224
CN 1902196	A	20070124	CN 2004-80038930		20041224
			JP 2003-432777	A	20031226
			WO 2004-JP19778	W	20041224
NO 2006003446	A	20060908	NO 2006-3446		20060726
			JP 2003-432777	A	20031226
			WO 2004-JP19778	W	20041224

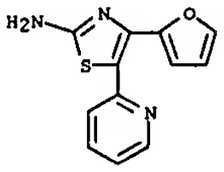
OS MARPAT 143:133362
ED Entered STN: 15 Jul 2005
GI



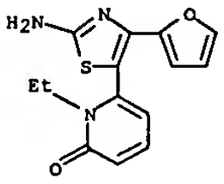
I

L3 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB The patent relates to the synthesis of an adenosine A2A receptor antagonist which contains as an active ingredient either a thiazole derivative represented by I (wherein n is an integer of 0 to 3; R1 represents (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted alicyclic heterocyclic group, or (un)substituted aromatic heterocyclic group; R2 represents halogeno, (un)substituted lower alkyl, (un)substituted aryl, (un)substituted alicyclic heterocyclic group, (un)substituted aromatic heterocyclic group, -COR8, etc.; and R3 and R4 are the same or different and each represents hydrogen, (un)substituted lower alkyl, (un)substituted aralkyl, -COR12, etc.) or a pharmacol. acceptable salt of the derivative Thus, N-[4-(2-furyl)-5-(4-pyridyl)thiazol-2-yl]pyridine-4-carboxyamide (40 gm) was prepared and formulated with lactose 286.8, potato starch 60, hydeoypropylcellulose (10% aqueous solution) 120, and magnesium stearate 1.2 gm to make tablets containing 10% active ingredient for adenosine A2A receptor antagonist.
IT 858980-82-2P 858980-95-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of thiazole derivs. for adenosine A2A receptor antagonist)
RN 858980-82-2 CAPLUS
CN 2-Thiazolamine, 4-(2-furanyl)-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

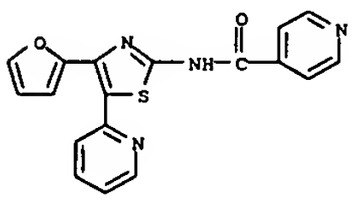


RN 858980-95-7 CAPLUS
CN 2(1H)-Pyridinone, 6-[2-amino-4-(2-furanyl)-5-thiazolyl]-1-ethyl- (9CI) (CA INDEX NAME)

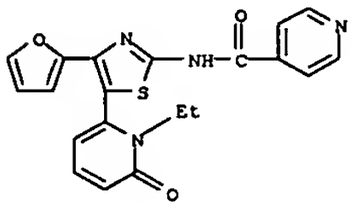


L3 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

IT 858974-36-4P 858975-43-6P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis of thiazole derivs. for adenosine A2A receptor antagonist)
RN 858974-36-4 CAPLUS
CN 4-Pyridinecarboxamide, N-[4-(2-furanyl)-5-(2-pyridinyl)-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 858975-43-6 CAPLUS
CN 4-Pyridinecarboxamide, N-[5-(1-ethyl-1,6-dihydro-6-oxo-2-pyridinyl)-4-(2-furanyl)-2-thiazolyl]- (9CI) (CA INDEX NAME)

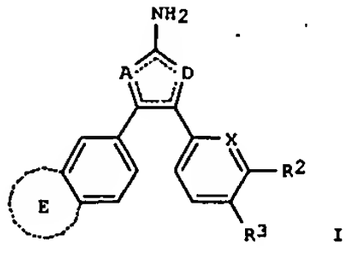


RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:1127377 CAPLUS
DN 142:74556
TI Preparation of novel aminothiazoles as inhibitors of the transforming growth factor (TGF-β) signaling pathway
IN Dodic, Nerina; Donche, Frederic; Gellibert, Francoise Jeanne
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI WO 2004111046	A2	20041223	WO 2004-EP6425		20040614
WO 2004111046	A3	20050120			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
			GB 2003-13914	A	20030616
EP 1660494	A2	20060531	EP 2004-739896		20040614
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR					
			GB 2003-13914	A	20030616
			WO 2004-EP6425	W	20040614
JP 2006527720	T	20061207	JP 2006-515934		20040614
			GB 2003-13914	A	20030616
			WO 2004-EP6425	W	20040614
US 2006247233	A1	20061102	US 2006-560691		20060413
			GB 2003-13914	A	20030616
			WO 2004-EP6425	W	20040614

OS MARPAT 142:74556
ED Entered STN: 24 Dec 2004
GI



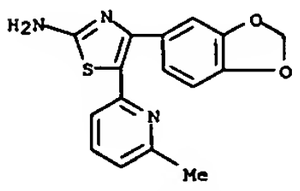
I

L3 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB The title compds. I [either A = S and D = N; or A = N and D = S; ring E = (un)substituted (un)saturated or aromatic 5-6 membered heterocycle; X = N, CH; R2 = H, alkyl, halo, CN, perfluoroalkyl; R3 = H, halo] which are inhibitors of the transforming growth factor, ("TGF")-β signaling pathway, in particular, the phosphorylation of smad2 or smad3 by the TGF-β type I or activin-like kinase ("ALK")-5 receptor, were prepared E.g., a multi-step synthesis of 5-(1-methylbenzimidazol-6-yl)-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine, which showed an ALK5 receptor modulator activity of 16 nM and TGF-β cellular activity of 11 nM, was given. The invention also relates to the use of compds. I in medicine, specifically in the treatment and prevention of a disease state mediated by this pathway. The pharmaceutical compns. comprising the compound I is disclosed.

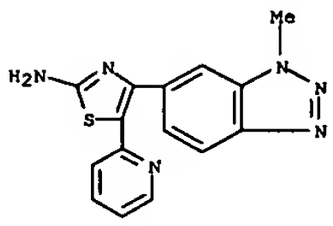
IT 676165-90-5P 813448-89-4P, 4-(Benzoxazol-6-yl)-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 813448-94-1P 813448-95-2P, 4-(Quinolin-6-yl)-5-(pyridin-2-yl)-1,3-thiazol-2-amine 813448-96-3P, 4-(1-Methylbenzotriazol-6-yl)-5-(pyridin-2-yl)-1,3-thiazol-2-amine 813448-97-4P, 4-(1-Methylbenzimidazol-6-yl)-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel aminothiazoles as inhibitors of transforming growth factor β for treatment of disorders mediated by the ALK5 receptor)

RN 676165-90-5 CAPLUS
CN 2-Thiazolamine, 4-(1,3-benzodioxol-5-yl)-5-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

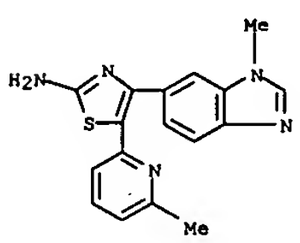


RN 813448-89-4 CAPLUS
CN 2-Thiazolamine, 4-(6-benzoxazolyl)-5-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

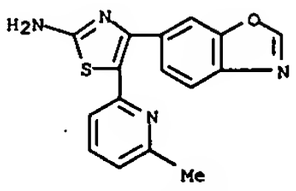
L3 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



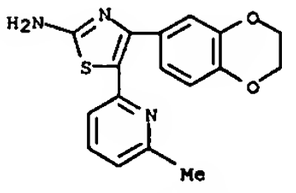
RN 813448-97-4 CAPLUS
CN 2-Thiazolamine, 4-(1-methyl-1H-benzimidazol-6-yl)-5-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



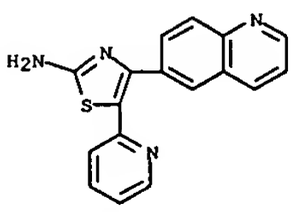
L3 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 813448-94-1 CAPLUS
CN 2-Thiazolamine, 4-(2,3-dihydro-1,4-benzodioxin-6-yl)-5-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 813448-95-2 CAPLUS
CN 2-Thiazolamine, 5-(2-pyridinyl)-4-(6-quinolinyl)- (9CI) (CA INDEX NAME)



RN 813448-96-3 CAPLUS
CN 2-Thiazolamine, 4-(1-methyl-1H-benzotriazol-6-yl)-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)

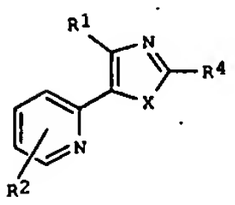
L3 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:267326 CAPLUS
DN 140:287371
TI Preparation of 2-(oxazol-4-yl)pyridines and related compounds as transforming growth factor (TGF) inhibitors for the treatment of cancer and fibrotic diseases
IN Blumberg, Laura Cook; Munchhof, Michael John
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004026863	A1	20040401	WO 2003-IB3823	20030908
	WO 2004026863	A8	20050421		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2002-412120P	P 20020918
				US 2003-471265P	P 20030516
				US 2003-484581P	P 20030702
	BR 2003014383	A	20050719	BR 2003-14383	20030809
				US 2002-412120P	P 20020918
				US 2003-471265P	P 20030516
				US 2003-484581P	P 20030702
				WO 2003-IB3823	W 20030908
	CA 2499429	A1	20040401	CA 2003-2499429	20030908
				US 2002-412120P	P 20020918
				US 2003-471265P	P 20030516
				US 2003-484581P	P 20030702
				WO 2003-IB3823	W 20030908
	AU 2003256003	A1	20040408	AU 2003-256003	20030908
				US 2002-412120P	P 20020918
				US 2003-471265P	P 20030516
				US 2003-484581P	P 20030702
				WO 2003-IB3823	W 20030908
	EP 1542994	A1	20050622	EP 2003-797426	20030908
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
				US 2002-412120P	P 20020918
				US 2003-471265P	P 20030516
				US 2003-484581P	P 20030702
				WO 2003-IB3823	W 20030908
	CN 1681810	A	20051012	CN 2003-822220	20030908
				US 2002-412120P	P 20020918
				US 2003-471265P	P 20030516
				US 2003-484581P	P 20030702
	JP 2006502235	T	20060119	JP 2004-568899	20030908
				US 2002-412120P	P 20020918
				US 2003-471265P	P 20030516
				US 2003-484581P	P 20030702

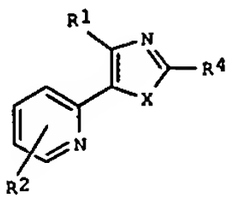
L3 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

				WO	2003-IB3823	W 20030908
	US 2004110797	A1	20040610	US	2003-667187	20030917
				US	2002-412120P	P 20020918
				US	2003-471265P	P 20030516
				US	2003-484581P	P 20030702
	ZA 2005002270	A	20050919	ZA	2005-2270	20050317
				US	2002-412120P	P 20020918
	NO 2005001838	A	20050415	NO	2005-1838	20050415
				US	2002-412120P	P 20020918
				US	2003-471265P	P 20030516
				US	2003-484581P	P 20030702
				WO	2003-IB3823	W 20030908

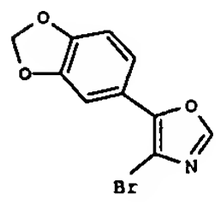
OS MARPAT 140:287371
ED Entered STN: 01 Apr 2004
GI



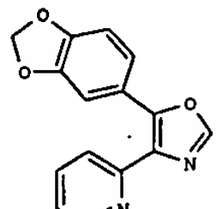
I



II



III

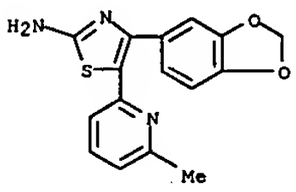


IV

AB Title compds. I and II [X = O, S; R1 = (un)saturated aromatic, monocyclic, bicyclic, etc.; R2 = (R3)s; R3 =H, halo, halo-alkyl, etc.; s = 1-5; R4 = H, halo, halo-alkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, Stille coupling of bromide III e.g., prepared from benzo[1,3]dioxole-5-carboxaldehyde in 2-steps, and 2-bromo-6-methylpyridine afforded oxazole IV in 70% yield. In β1-transforming growth factors kinase assays, 10-examples of compds. I and II exhibited IC50 values ranging from 19.7-600 nM. Of note, compds. I and II also possess differential activity, i.e. are selective for β1-TGF over β2-TGF and β3-TGF. Compds. I and II are claimed useful for the treatment of TGF-related disease states including cancer and fibrotic diseases.

IT 676165-90-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-(oxazol-4-yl)pyridines and related compds. as transforming growth factor (TGF) inhibitors for the treatment of cancer and fibrotic diseases)

RN 676165-90-5 CAPLUS
CN 2-Thiazolamine, 4-(1,3-benzodioxol-5-yl)-5-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:120851 CAPLUS
DN 140:181331
TI Preparation of 2-phenylpyridin-4-yl heterocycles as selective activin-like kinase-5 inhibitors useful against fibrosis and other disorders
IN Dodic, Nerina; Gellibert, Francoise Jeanne
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004013135	A1	20040212	WO 2003-EP8496	20030729

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

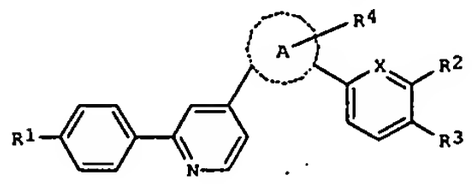
				GB	2002-17751	A 20020731
				GB	2003-14698	A 20030624
	AU 2003260345	A1	20040223	AU	2003-260345	20030729
				GB	2002-17751	A 20020731
				GB	2003-14698	A 20030624
				WO	2003-EP8496	W 20030729
	EP 1539748	A1	20050615	EP	2003-766385	20030729

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

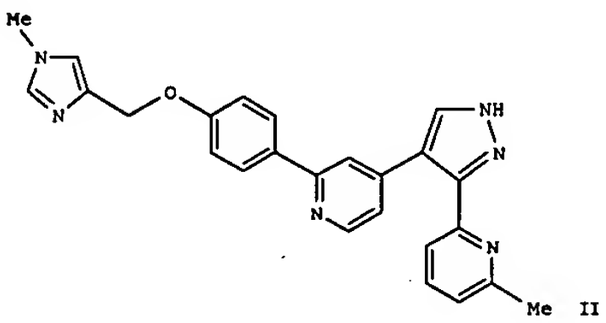
				GB	2002-17751	A 20020731
				GB	2003-14698	A 20030624
				WO	2003-EP8496	W 20030729
	JP 2005539000	T	20051222	JP	2004-525405	20030729
				GB	2002-17751	A 20020731
				GB	2003-14698	A 20030624
				WO	2003-EP8496	W 20030729
	US 2005245520	A1	20051103	US	2005-522969	20050131
				GB	2002-17751	A 20020731
				GB	2003-14698	A 20030624
				WO	2003-EP8496	W 20030729

OS MARPAT 140:181331
ED Entered STN: 13 Feb 2004
GI

L3 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I



II

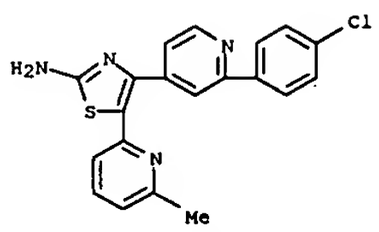
AB This invention relates to novel 2-phenylpyridin-4-yl heterocycles (shown as I; variables defined below; e.g. II) that are inhibitors of the transforming growth factor, ('TGF')-β signaling pathway, in particular, the phosphorylation of Smad-2 or Smad-3 by the TGF-β type I or activin-like kinase ('ALK')-5 receptor, methods for their preparation and their use in medicine, specifically in the treatment and prevention of a disease state mediated by this pathway, e.g. fibrosis (no data). All examples of I show ALK-5 receptor modulator activity (having IC50 values at 0.4-275 nM) and TGF-β cellular activity (having IC50 values at 0.001-10 μM). 4-[4-[4-[2-tert-Butyl-5-(6-methylpyridin-2-yl)-1H-imidazol-4-yl]pyridin-2-yl]phenyl]morpholine showed an ALK-5 receptor modulator activity of 34 nM and TGF-β cellular activity of 183 nM. N-(tetrahydropyran-4-yl)-4-[4-[2-isopropyl-5-(6-methylpyridin-2-yl)-1H-imidazol-4-yl]pyridin-2-yl]benzamide showed an ALK-5 receptor modulator activity of 25 nM and TGF-β cellular activity of <14 nM. Although the methods of preparation are not claimed, >150 example prepns. of I and .apprx.130 example prepns. of intermediates are included. For example, II was prepared in 37% yield by reacting 4-[4-[3-(6-methylpyridin-2-yl)-1-trityl-1H-pyrazol-4-yl]pyridin-2-yl]phenol and NaH in DMF with 1-methyl-4-hydroxymethylimidazole followed by removal of the trityl group using HCl in MeOH; details are also given for preparation of the reactants.
For I: A is furan, dioxolane, thiophene, pyrrole, imidazole, pyrrolidine, pyran, pyridine, pyrimidine, morpholine, piperidine, oxazole, isoxazole, oxazoline, oxazolidine, thiazole, isothiazole, thiadiazole, benzofuran, indole, isoindole, indazole, imidazopyridine, quinazoline, quinoline, isoquinoline, pyrazole or triazole; X is N or CH; R1 is H, C1-6alkyl, C1-6alkenyl, C1-6alkoxy, halo, cyano, perfluoro C1-6alkyl, perfluoroC1-6alkoxy, -NR5R6, -(CH2)nNR5R6, -O(CH2)nOR7, -O(CH2)n-Het, -O(CH2)nNR5R6, -CONR5R6, -CO(CH2)nNR5R6, -SO2R7, -SO2NR5R6, -NR5SO2R7,

L3 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
-NR5COR7, -O(CH2)nCONR5R6, -NR5CO(CH2)nNR5R6 or -C(O)R7; R2 is H, C1-6alkyl, halo, cyano or perfluoroC1-6alkyl; R3 is H or halo; R4 is H, halo, Ph, C1-6alkyl or -NR5R6; addnl. details including provisos are given in the claims.

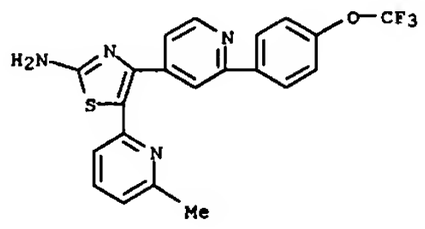
IT 656258-00-3P, 4-[2-(4-Chlorophenyl)pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-01-4P, 4-[2-[4-(Trifluoromethoxy)phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-02-5P, 4-[2-[4-(Ethanesulfonyl)phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-03-6P, 4-[2-[4-[[(Tetrahydropyran-4-yl)amino]carbonyl]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-04-7P, 4-[2-[4-[(Morpholin-4-yl)carbonyl]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-05-8P, 4-[2-[4-[(4-Ethylpiperazin-1-yl)carbonyl]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-06-9P, 4-[2-[4-[(Morpholin-4-yl)methyl]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-07-0P, 4-[2-[4-(Morpholin-4-yl)phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-08-1P, 4-[2-[4-[2-(Pyrrolidin-1-yl)ethoxy]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-09-2P, 4-[2-[4-(Aminocarbonylmethoxy)phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-10-5P, 4-[2-[4-[[(Morpholin-4-yl)carbonyl]methoxy]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-11-6P, 4-[2-[4-[(Pyrrolidin-1-yl)methyl]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-12-7P, 4-[2-[4-[(Dimethylamino)methyl]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-13-8P, 4-[2-[4-[[(Tetrahydropyran-4-yl)amino]carbonyl]phenyl]pyridin-4-yl]-5-(pyridin-2-yl)-1,3-thiazol-2-amine 656258-14-9P, 4-[2-[4-(Morpholin-4-yl)phenyl]pyridin-4-yl]-5-(pyridin-2-yl)-1,3-thiazol-2-amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 2-phenylpyridin-4-yl heterocycles as selective activin-like kinase-5 inhibitors useful against fibrosis and other disorders)

RN 656258-00-3 CAPLUS
CN 2-Thiazolamine, 4-[2-(4-chlorophenyl)-4-pyridinyl]-5-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

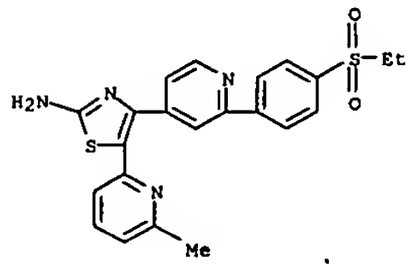
L3 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 656258-01-4 CAPLUS
CN 2-Thiazolamine, 5-(6-methyl-2-pyridinyl)-4-[2-[4-(trifluoromethoxy)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

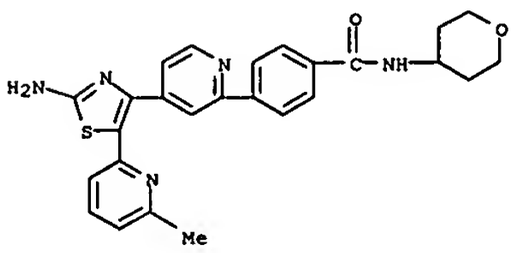


RN 656258-02-5 CAPLUS
CN 2-Thiazolamine, 4-[2-[4-(ethylsulfonyl)phenyl]-4-pyridinyl]-5-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

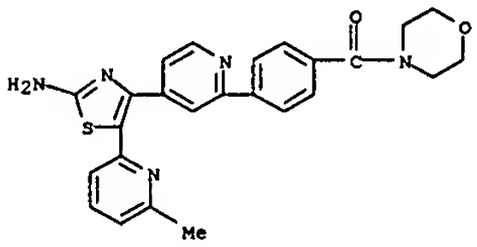


RN 656258-03-6 CAPLUS
CN Benzamide, 4-[4-[2-amino-5-(6-methyl-2-pyridinyl)-4-thiazolyl]-2-pyridinyl]-N-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

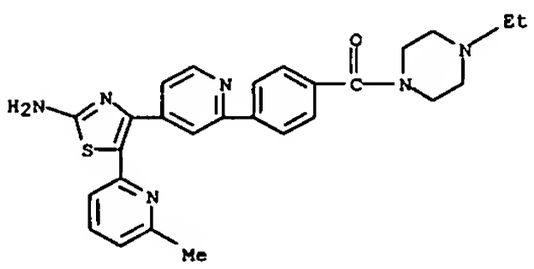
L3 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 656258-04-7 CAPLUS
CN Morpholine, 4-[4-[4-[2-amino-5-(6-methyl-2-pyridinyl)-4-thiazolyl]-2-pyridinyl]benzoyl]- (9CI) (CA INDEX NAME)

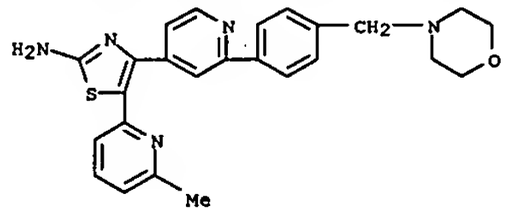


RN 656258-05-8 CAPLUS
CN Piperazine, 1-[4-[4-[2-amino-5-(6-methyl-2-pyridinyl)-4-thiazolyl]-2-pyridinyl]benzoyl]-4-ethyl- (9CI) (CA INDEX NAME)

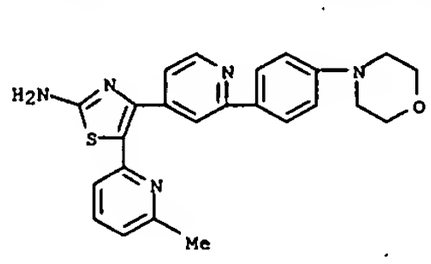


RN 656258-06-9 CAPLUS
CN 2-Thiazolamine, 5-(6-methyl-2-pyridinyl)-4-[2-[4-(4-morpholinylmethyl)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

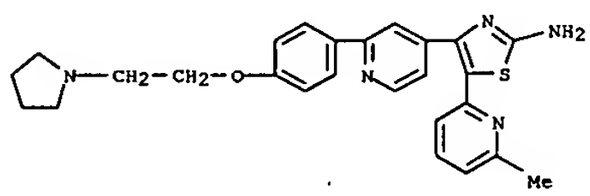
L3 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 656258-07-0 CAPLUS
CN 2-Thiazolamine, 5-(6-methyl-2-pyridinyl)-4-[2-[4-(4-morpholinyl)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

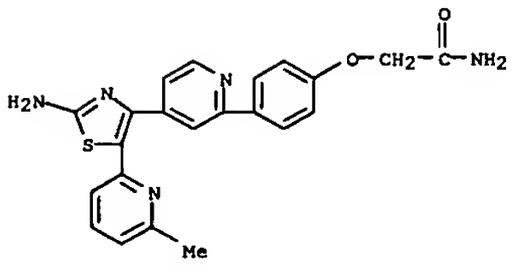


RN 656258-08-1 CAPLUS
CN 2-Thiazolamine, 5-(6-methyl-2-pyridinyl)-4-[2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

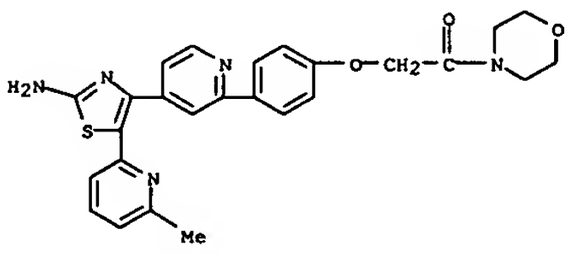


RN 656258-09-2 CAPLUS
CN Acetamide, 2-[4-[4-[2-amino-5-(6-methyl-2-pyridinyl)-4-thiazolyl]-2-pyridinyl]phenoxy]- (9CI) (CA INDEX NAME)

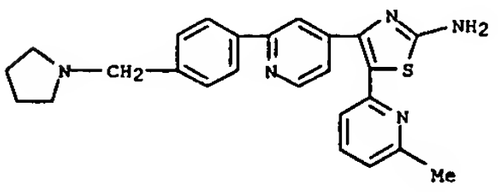
L3 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 656258-10-5 CAPLUS
CN Morpholine, 4-[[4-[4-[2-amino-5-(6-methyl-2-pyridinyl)-4-thiazolyl]-2-pyridinyl]phenoxy]acetyl]- (9CI) (CA INDEX NAME)

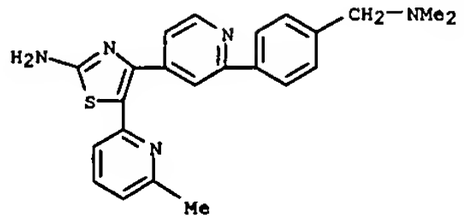


RN 656258-11-6 CAPLUS
CN 2-Thiazolamine, 5-(6-methyl-2-pyridinyl)-4-[2-[4-(1-pyrrolidinylmethyl)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

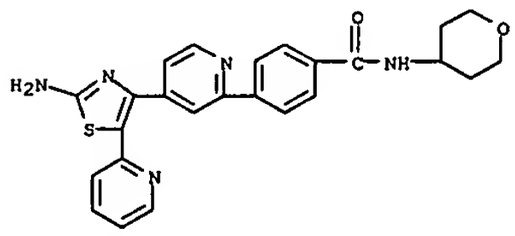


RN 656258-12-7 CAPLUS
CN 2-Thiazolamine, 4-[2-[4-[(dimethylamino)methyl]phenyl]-4-pyridinyl]-5-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

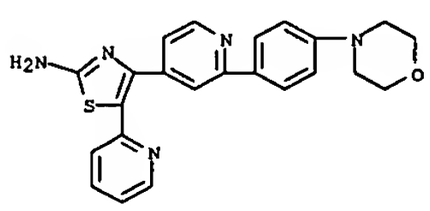
L3 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 656258-13-8 CAPLUS
CN Benzamide, 4-[4-[2-amino-5-(2-pyridinyl)-4-thiazolyl]-2-pyridinyl]-N-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



RN 656258-14-9 CAPLUS
CN 2-Thiazolamine, 4-[2-[4-(4-morpholinyl)phenyl]-4-pyridinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:120850 CAPLUS
DN 140:163858
TI Preparation of aminothiazoles as inhibitors of the transforming growth factor-beta (TGF-β) signalling pathway
IN Dodic, Nerina; Gellibert, Francoise Jeanne
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004013134	A2	20040212	WO 2003-EP8385	20030729
WO 2004013134	A3	20040325		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

			GB 2002-17787	A 20020731
AU 2003255322	A1	20040223	AU 2003-255322	20030729
			GB 2002-17787	A 20020731
			WO 2003-EP8385	W 20030729
EP 1554275	A2	20050720	EP 2003-766352	20030729

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

			GB 2002-17787	A 20020731
			WO 2003-EP8385	W 20030729
JP 2005538996	T	20051222	JP 2004-525372	20030729
			GB 2002-17787	A 20020731
			WO 2003-EP8385	W 20030729
US 2006004051	A1	20060105	US 2005-522968	20050131
			GB 2002-17787	A 20020731
			WO 2003-EP8385	W 20030729

OS MARPAT 140:163858
ED Entered STN: 13 Feb 2004
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein either A = S and B = N, or A = N and B = S; X = CH or N; R1 = H, alk(en)yl, perfluoro/alkoxy, halo, CN, perfluoroalkyl, NH2 and derivs., (CH2)nNH2 and derivs., CONH2 and derivs., SO2H and derivs., SO2NH2 and derivs., etc.; R2 = H, perfluoro/alkyl, halo, CN; R3 = H, halo; R4 = NH2; n = 1-4 with the proviso that certain compds. are not considered] were prepared as inhibitors of the transforming growth factor-beta (TGF-β) signaling pathway, in particular, the phosphorylation of smad2 or smad3 by the TGF-β type I or activin-like kinase-5 (ALK-5) receptor for treatment and prevention of a disease state

L3 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

mediated by this pathway. For example, II was prepd by reaction of 2-bromo-4-methylpyridine with Me 6-methylpicolinate, Pd-cross coupling with 4-(methoxycarbonyl)phenylboronic acid, hydrolysis, acylation of 4-aminoterahydrofuran with the resulting acid, followed by solid phase cyclocondensation of III with thiourea. II showed an ALK5 receptor modulator activity of 14 nM in an ALK5 fluorescence polarization assay and

TGF-β cellular activity of 29 nM in a cellular transcriptional assay. Thus, I are useful for treating or preventing a disease or condition mediated by ALK-5 inhibition, in particular kidney fibrosis.

IT 656258-00-3P, 4-[2-(4-Chlorophenyl)pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-01-4P,

4-[2-(4-Trifluoromethoxyphenyl)pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-02-5P, 4-[2-[4-

(Ethanesulfonyl)phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-03-6P, 4-[2-[4-[[(Tetrahydropyran-4-yl)amino]carbonyl]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-04-7P, 4-[2-[4-[(Morpholin-4-yl)carbonyl]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-05-8P, 4-[2-[4-[(1-Ethylpiperazin-4-yl)carbonyl]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-06-9P, 4-[2-[4-[(Morpholin-4-yl)methyl]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-07-0P, 4-[2-[4-(Morpholin-4-yl)phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-08-1P,

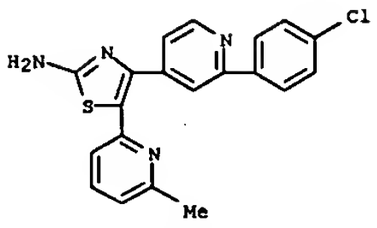
4-[2-[4-[2-(Pyrrolidin-1-yl)ethoxy]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-09-2P, 4-[2-[4-

[[(Aminocarbonyl)methyl]oxy]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-10-5P, 4-[2-[4-[[[(Morpholin-4-yl)carbonyl]methyl]oxy]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-11-6P, 4-[2-[4-[(Pyrrolidin-1-yl)methyl]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-12-7P, 4-[2-[4-[(Dimethylamino)methyl]phenyl]pyridin-4-yl]-5-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-13-8P, 4-[2-[4-[[(Tetrahydropyran-4-yl)amino]carbonyl]phenyl]pyridin-4-yl]-5-(pyridin-2-yl)-1,3-thiazol-2-amine 656258-14-9P,

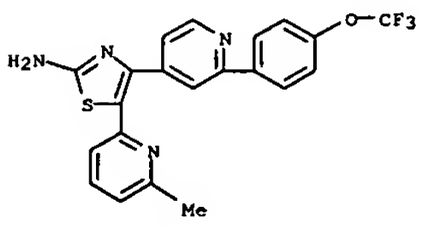
4-[2-[4-(Morpholin-4-yl)phenyl]pyridin-4-yl]-5-(pyridin-2-yl)-1,3-thiazol-2-amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibitor of TGF-β signaling pathway; preparation of aminothiazoles as inhibitors of transforming growth factor-beta (TGF-β) signaling pathway)

RN 656258-00-3 CAPLUS
CN 2-Thiazolamine, 4-[2-(4-chlorophenyl)-4-pyridinyl]-5-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

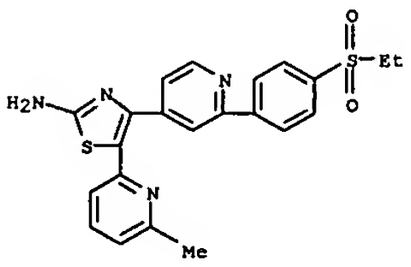
L3 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 656258-01-4 CAPLUS
CN 2-Thiazolamine, 5-(6-methyl-2-pyridinyl)-4-[2-[4-(trifluoromethoxy)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

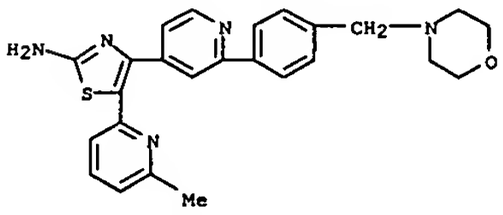


RN 656258-02-5 CAPLUS
CN 2-Thiazolamine, 4-[2-[4-(ethylsulfonyl)phenyl]-4-pyridinyl]-5-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

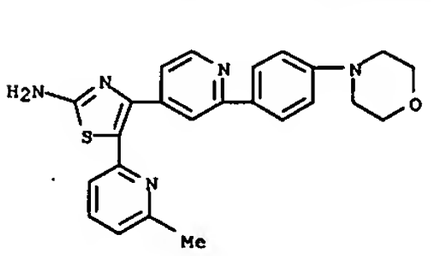


RN 656258-03-6 CAPLUS
CN Benzamide, 4-[4-[2-amino-5-(6-methyl-2-pyridinyl)-4-thiazolyl]-2-pyridinyl]-N-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

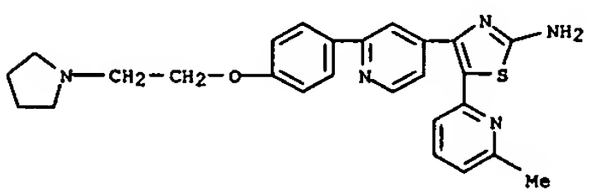
L3 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 656258-07-0 CAPLUS
CN 2-Thiazolamine, 5-(6-methyl-2-pyridinyl)-4-[2-[4-(4-morpholinyl)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

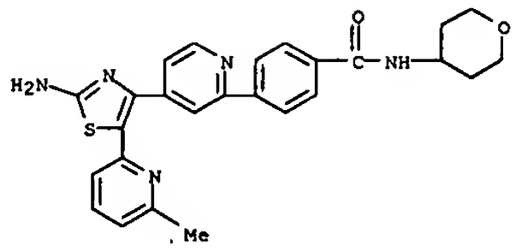


RN 656258-08-1 CAPLUS
CN 2-Thiazolamine, 5-(6-methyl-2-pyridinyl)-4-[2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

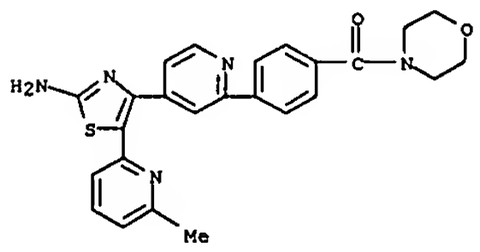


RN 656258-09-2 CAPLUS
CN Acetamide, 2-[4-[4-[2-amino-5-(6-methyl-2-pyridinyl]-4-thiazolyl]-2-pyridinyl]phenoxy]- (9CI) (CA INDEX NAME)

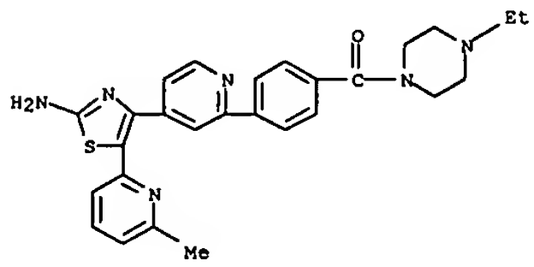
L3 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 656258-04-7 CAPLUS
CN Morpholine, 4-[4-[4-[2-amino-5-(6-methyl-2-pyridinyl)-4-thiazolyl]-2-pyridinyl]benzoyl]- (9CI) (CA INDEX NAME)

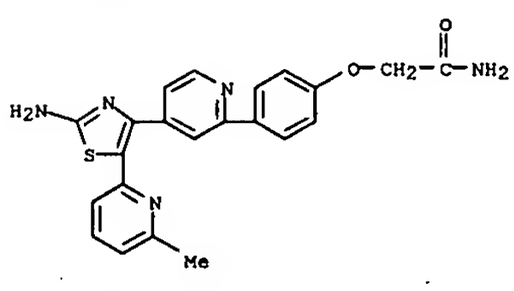


RN 656258-05-8 CAPLUS
CN Piperazine, 1-[4-[4-[2-amino-5-(6-methyl-2-pyridinyl)-4-thiazolyl]-2-pyridinyl]benzoyl]-4-ethyl- (9CI) (CA INDEX NAME)

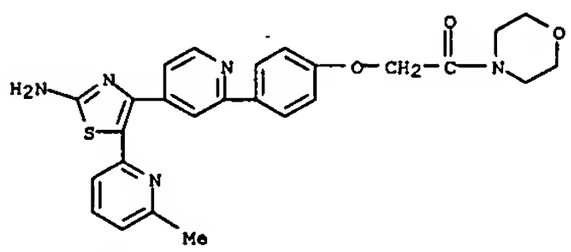


RN 656258-06-9 CAPLUS
CN 2-Thiazolamine, 5-(6-methyl-2-pyridinyl)-4-[2-[4-(4-morpholinylmethyl)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

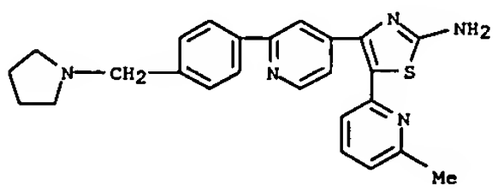
L3 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 656258-10-5 CAPLUS
CN Morpholine, 4-[[4-[4-[2-amino-5-(6-methyl-2-pyridinyl)-4-thiazolyl]-2-pyridinyl]phenoxy]acetyl]- (9CI) (CA INDEX NAME)

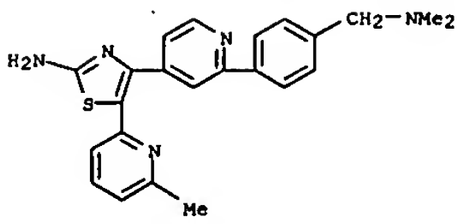


RN 656258-11-6 CAPLUS
CN 2-Thiazolamine, 5-(6-methyl-2-pyridinyl)-4-[2-[4-(1-pyrrolidinylmethyl)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

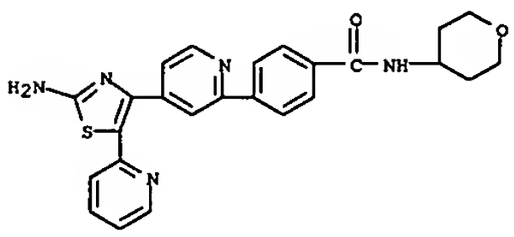


RN 656258-12-7 CAPLUS
CN 2-Thiazolamine, 4-[2-[4-[(dimethylamino)methyl]phenyl]-4-pyridinyl]-5-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

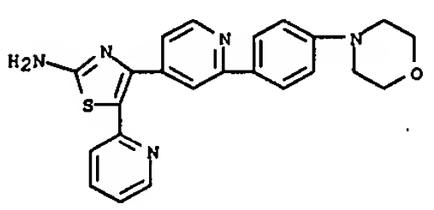
L3 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



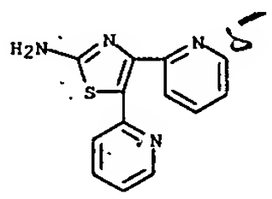
RN 656258-13-8 CAPLUS
CN Benzamide, 4-[4-[2-amino-5-(2-pyridinyl)-4-thiazolyl]-2-pyridinyl]-N-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



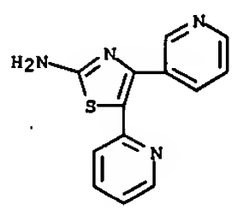
RN 656258-14-9 CAPLUS
CN 2-Thiazolamine, 4-[2-[4-(4-morpholinyl)phenyl]-4-pyridinyl]-5-(2-pyridinyl)- (9CI) (CA INDEX NAME)



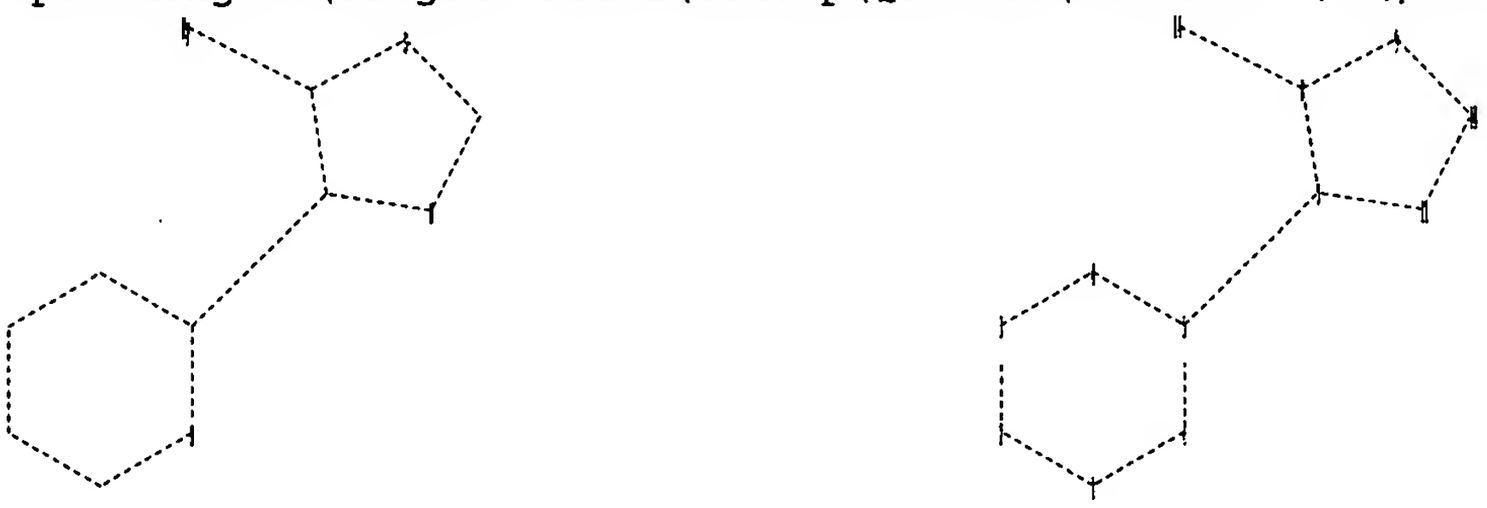
L3 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1971:22749 CAPLUS
DN 74:22749
TI Synthesis of pyridyl- and quinolyl-substituted 2-aminothiazoles
AU Taurins, Alfred; Blaga, Aurel
CS Dep. Chem., McGill Univ., Montreal, QC, Can.
SO Journal of Heterocyclic Chemistry (1970), 7(5), 1137-41
CODEN: JHTCAD; ISSN: 0022-152X
DT Journal
LA English
ED Entered STN: 12 May 1984
AB Five 2-amino-4-(x-pyridyl)- and 2-amino-4-(x-quinolyl)thiazoles (x = 2 or 3) were synthesized by the condensation of thiourea with bromoacetylpyridines and -quinolines. The reaction of pyridyl pyridylmethyl ketones with thiourea and halogens produced four 2-aminothiazoles possessing pyridyl substituents in 4- and 5-positions on the thiazole ring. Treatment of N-(3-pyridyl)- and N-(3-quinolyl)thiourea with α-bromo ketones gave seven 2-(3-pyridyl)amino- and 2-(3-quinolyl)aminothiazoles. The uv spectra of the pyridyl- and quinolyl-substituted 2-aminothiazoles were recorded.
IT 30235-32-6P 30235-33-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 30235-32-6 CAPLUS
CN Pyridine, 2,2'-(2-amino-4,5-thiazolediyl)di- (8CI) (CA INDEX NAME)



RN 30235-33-7 CAPLUS
CN Pyridine, 2-[2-amino-4-(4-pyridyl)-5-thiazolyl]- (8CI) (CA INDEX NAME)



=>
=>
Uploading C:\Program Files\Stnexp\Queries\10-667187(18).str



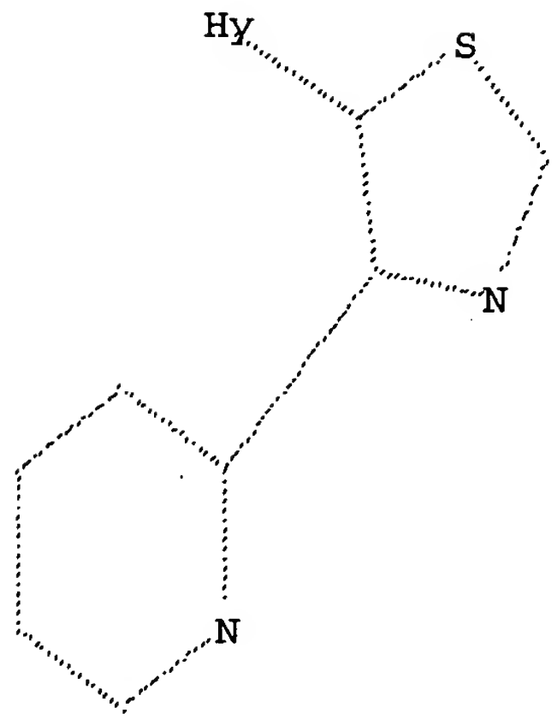
chain nodes :
14
ring nodes :
1 2 3 4 5 6 7 8 9 10 11
chain bonds :
5-7 8-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-11 8-9 9-10 10-11
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 7-8 7-11 8-9 8-14 9-10 10-11
isolated ring systems :
containing 1 : 7 :

G1:O,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 14:Atom

L4 STRUCTURE UPLOADED

=> d
L4 HAS NO ANSWERS
L4 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l4 ful
REG1stRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...
Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 16:52:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 11677 TO ITERATE

100.0% PROCESSED 11677 ITERATIONS 73 ANSWERS
SEARCH TIME: 00.00.01

L5 73 SEA SSS FUL L4

L6 10 L5

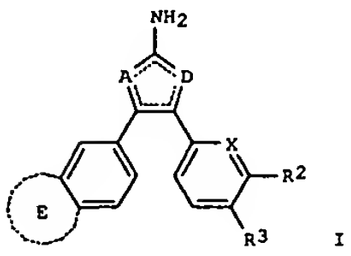
=> d fbib ed abs hitstr tot

L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:1127377 CAPLUS
DN 142:74556
TI Preparation of novel aminothiazoles as inhibitors of the transforming growth factor (TGF-β) signaling pathway
IN Dodic, Nerina; Donche, Frederic; Gellibert, Francoise Jeanne
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004111046	A2	20041223	WO 2004-EP6425	20040614
WO 2004111046	A3	20050120		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			GB 2003-13914	A 20030616
EP 1660494	A2	20060531	EP 2004-739896	20040614
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
			GB 2003-13914	A 20030616
			WO 2004-EP6425	W 20040614
JP 2006527720	T	20061207	JP 2006-515934	20040614
			GB 2003-13914	A 20030616
			WO 2004-EP6425	W 20040614
US 2006247233	A1	20061102	US 2006-560691	20060413
			GB 2003-13914	A 20030616
			WO 2004-EP6425	W 20040614

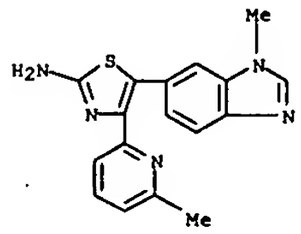
OS MARPAT 142:74556
ED Entered STN: 24 Dec 2004
GI



I

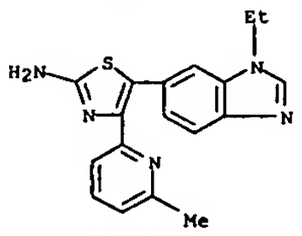
L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB The title compds. I [either A = S and D = N; or A = N and D = S; ring E = (un)substituted (un)saturated or aromatic 5-6 membered heterocycle; X = N, CH; R2 = H, alkyl, halo, CN, perfluoroalkyl; R3 = H, halo] which are inhibitors of the transforming growth factor, ("TGF")-β signaling pathway, in particular, the phosphorylation of smad2 or smad3 by the TGF-β type I or activin-like kinase ("ALK")-5 receptor, were prepared E.g., a multi-step synthesis of 5-(1-methylbenzimidazol-6-yl)-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine, which showed an ALK5 receptor modulator activity of 16 nM and TGF-β cellular activity of 11 nM, was given. The invention also relates to the use of compds. I in medicine, specifically in the treatment and prevention of a disease state mediated by this pathway. The pharmaceutical compns. comprising the compound I is disclosed.
IT 813448-88-3P, 5-(1-Methylbenzimidazol-6-yl)-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 813448-90-7P, 5-(1-Ethylbenzimidazol-6-yl)-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 813448-91-8P, 5-(1-(2-Methoxyethyl)benzimidazol-6-yl)-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 813448-92-9P 813448-93-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel aminothiazoles as inhibitors of transforming growth factor β for treatment of disorders mediated by the ALK5 receptor)
RN 813448-88-3 CAPLUS
CN 2-Thiazolamine, 5-(1-methyl-1H-benzimidazol-6-yl)-4-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

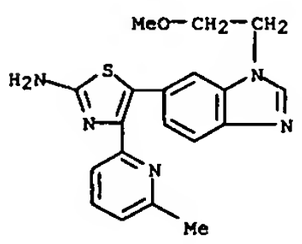


RN 813448-90-7 CAPLUS
CN 2-Thiazolamine, 5-(1-ethyl-1H-benzimidazol-6-yl)-4-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

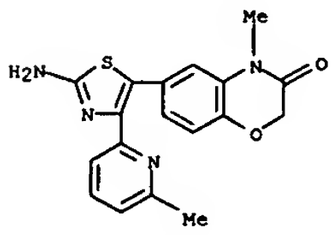
L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 813448-91-8 CAPLUS
CN 2-Thiazolamine, 5-[1-(2-methoxyethyl)-1H-benzimidazol-6-yl]-4-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

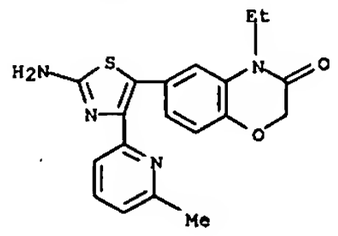


RN 813448-92-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-4-methyl- (9CI) (CA INDEX NAME)

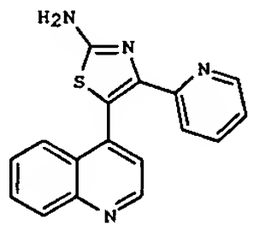


RN 813448-93-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-4-ethyl- (9CI) (CA INDEX NAME)

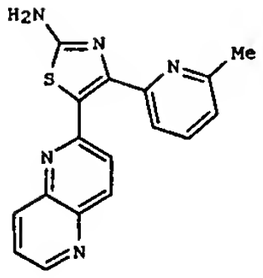
L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



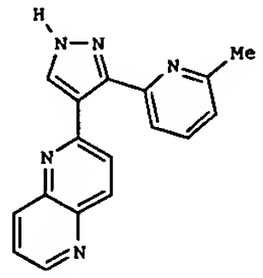
L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:620393 CAPLUS
DN 141:295935
TI Identification of 1,5-Naphthyridine Derivatives as a Novel Series of Potent and Selective TGF-β Type I Receptor Inhibitors
AU Gellibert, Francoise; Woolven, James; Fouchet, Marie-Helene; Mathews, Neil; Goodland, Helen; Lovegrove, Victoria; Laroze, Alain; Nguyen, Van-Loc; Sautet, Stephane; Wang, Ruolan; Janson, Cheryl; Smith, Ward; Krysa, Gaeel; Boullay, Valerie; de Gouville, Anne-Charlotte; Huet, Stephane; Hartley, David
CS Departments of Medicinal Chemistry and Biology, GlaxoSmithKline, Les Ulis, 91951, Fr.
SO Journal of Medicinal Chemistry (2004), 47(18), 4494-4506
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 141:295935
ED Entered STN: 04 Aug 2004
GI



I



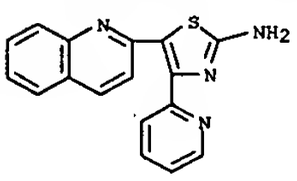
II



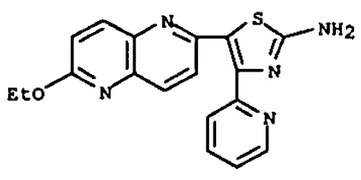
III

AB Optimization of the screening hit I led to the identification of novel 1,5-naphthyridine aminothiazole and pyrazole derivs., which are potent and selective inhibitors of the transforming growth factor-β type I

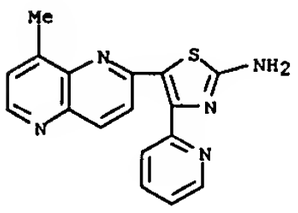
L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



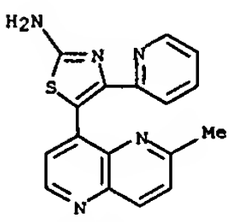
RN 764717-48-8 CAPLUS
CN 2-Thiazolamine, 5-(6-ethoxy-1,5-naphthyridin-2-yl)-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 764717-49-9 CAPLUS
CN 2-Thiazolamine, 5-(8-methyl-1,5-naphthyridin-2-yl)-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

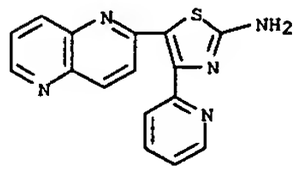


RN 764717-50-2 CAPLUS
CN 2-Thiazolamine, 5-(6-methyl-1,5-naphthyridin-4-yl)-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

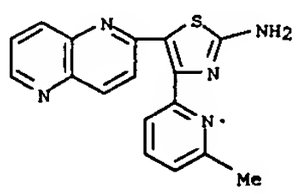


IT 446297-58-1P 764717-42-2P 764717-43-3P
764717-44-4P 764717-46-6P

L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
receptor, ALK5. Compds. II and III, which inhibited ALK5 autophosphorylation with IC50 = 6 and 4 nM, resp., showed potent activities in both binding and cellular assays and exhibited selectivity over p38 mitogen-activated protein kinase. The X-ray crystal structure of III in complex with human ALK5 is described, confirming the binding mode proposed from docking studies.
IT 446297-60-5P 446297-62-7P 764717-47-7P
764717-48-8P 764717-49-9P 764717-50-2P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation, TGF-β inhibition, and structure-activity relationship of naphthyridine aminothiazoles via condensation of naphthyridines with Et picolinates or benzoates followed by bromination and cyclization with thiourea)
RN 446297-60-5 CAPLUS
CN 2-Thiazolamine, 5-(1,5-naphthyridin-2-yl)-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



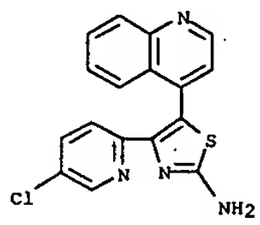
RN 446297-62-7 CAPLUS
CN 2-Thiazolamine, 4-(6-methyl-2-pyridinyl)-5-(1,5-naphthyridin-2-yl)- (9CI) (CA INDEX NAME)



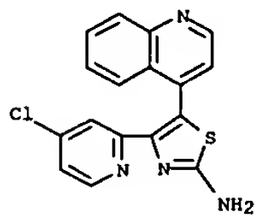
RN 764717-47-7 CAPLUS
CN 2-Thiazolamine, 4-(2-pyridinyl)-5-(2-quinolinyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn., TGF-β inhibition, and structure-activity relationship of quinolinyl or naphthyridinylaminothiazoles via bromination of quinolinyl or naphthyridinylethanones followed by cyclization with thiourea)
RN 446297-58-1 CAPLUS
CN 2-Thiazolamine, 4-(2-pyridinyl)-5-(4-quinolinyl)- (9CI) (CA INDEX NAME)

RN 764717-42-2 CAPLUS
CN 2-Thiazolamine, 4-(5-chloro-2-pyridinyl)-5-(4-quinolinyl)- (9CI) (CA INDEX NAME)

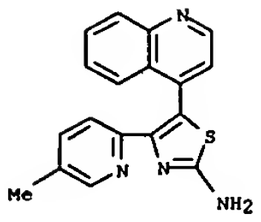


RN 764717-43-3 CAPLUS
CN 2-Thiazolamine, 4-(4-chloro-2-pyridinyl)-5-(4-quinolinyl)- (9CI) (CA INDEX NAME)



RN 764717-44-4 CAPLUS
CN 2-Thiazolamine, 4-(5-methyl-2-pyridinyl)-5-(4-quinolinyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 764717-46-6 CAPLUS
CN 2-Thiazolamine, 5-(1,8-naphthyridin-4-yl)-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:267326 CAPLUS
DN 140:287371
TI Preparation of 2-(oxazol-4-yl)pyridines and related compounds as transforming growth factor (TGF) inhibitors for the treatment of cancer and fibrotic diseases
IN Blumberg, Laura Cook; Munchhof, Michael John
PA Pfizer Products Inc., USA
SO PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI WO 2004026863	A1	20040401	WO 2003-IB3823		20030908
WO 2004026863	A8	20050421			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
			US 2002-412120P	P	20020918
			US 2003-471265P	P	20030516
			US 2003-484581P	P	20030702
BR 2003014383	A	20050719	BR 2003-14383		20030809
			US 2002-412120P	P	20020918
			US 2003-471265P	P	20030516
			US 2003-484581P	P	20030702
			WO 2003-IB3823	W	20030908
CA 2499429	A1	20040401	CA 2003-2499429		20030908
			US 2002-412120P	P	20020918
			US 2003-471265P	P	20030516
			US 2003-484581P	P	20030702
			WO 2003-IB3823	W	20030908
AU 2003256003	A1	20040408	AU 2003-256003		20030908
			US 2002-412120P	P	20020918
			US 2003-471265P	P	20030516
			US 2003-484581P	P	20030702
			WO 2003-IB3823	W	20030908
EP 1542994	A1	20050622	EP 2003-797426		20030908
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
			US 2002-412120P	P	20020918
			US 2003-471265P	P	20030516
			US 2003-484581P	P	20030702
			WO 2003-IB3823	W	20030908
CN 1681810	A	20051012	CN 2003-822220		20030908
			US 2002-412120P	P	20020918
			US 2003-471265P	P	20030516
			US 2003-484581P	P	20030702

L6 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

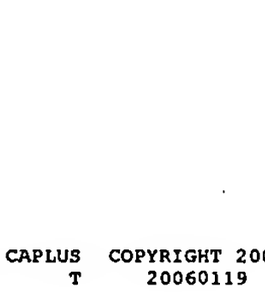
JP 2006502235	T	20060119	JP 2004-568899		20030908
			US 2002-412120P	P	20020918
			US 2003-471265P	P	20030516
			US 2003-484581P	P	20030702
			WO 2003-IB3823	W	20030908
US 2004110797	A1	20040610	US 2003-667187		20030917
			US 2002-412120P	P	20020918
			US 2003-471265P	P	20030516
			US 2003-484581P	P	20030702
ZA 2005002270	A	20050919	ZA 2005-2270		20050317
			US 2002-412120P	P	20020918
NO 2005001838	A	20050415	NO 2005-1838		20050415
			US 2002-412120P	P	20020918
			US 2003-471265P	P	20030516
			US 2003-484581P	P	20030702
			WO 2003-IB3823	W	20030908

OS MARPAT 140:287371
ED Entered STN: 01 Apr 2004
GI

I

II

III

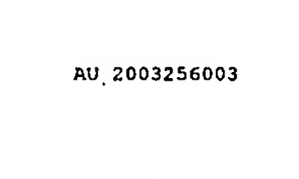


IV

AB Title compds. I and II [X = O, S; R1 = (un)saturated aromatic, monocyclic, bicyclic, etc.; R2 = (R3)s; R3 =H, halo, halo-alkyl, etc.; s = 1-5; R4 = H, halo, halo-alkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, Stille coupling of bromide III e.g., prepared from benzo[1,3]dioxole-5-carboxaldehyde in 2-steps, and 2-bromo-6-methylpyridine afforded oxazole IV in 70% yield. In β1-transforming growth factors kinase assays, 10-examples of compds. I and II exhibited IC50 values ranging from 19.7-600 nM. Of note, compds. I and II also possess differential activity, i.e. are selective for β1-TGF over β2-TGF and β3-TGF. Compds. I and II are claimed useful for the treatment of TGF-related disease states including cancer and fibrotic diseases.

L6 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

IT 676165-91-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-(oxazol-4-yl)pyridines and related compds. as transforming growth factor (TGF) inhibitors for the treatment of cancer and fibrotic diseases)
RN 676165-91-6 CAPLUS
CN 2-Thiazolamine, 4-(6-methyl-2-pyridinyl)-5-(6-quinolinyl)- (9CI) (CA INDEX NAME)



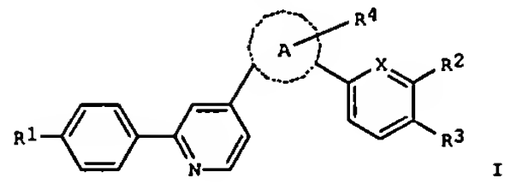
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:120851 CAPLUS
DN 140:181331
TI Preparation of 2-phenylpyridin-4-yl heterocycles as selective activin-like
kinase-5 inhibitors useful against fibrosis and other disorders
IN Dodic, Nerina; Gellibert, Francoise Jeanne
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

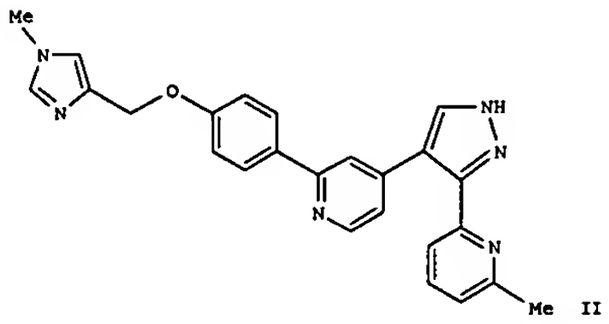
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004013135	A1	20040212	WO 2003-EP8496	20030729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			GB 2002-17751	A 20020731
			GB 2003-14698	A 20030624
AU 2003260345	A1	20040223	AU 2003-260345	20030729
			GB 2002-17751	A 20020731
			GB 2003-14698	A 20030624
			WO 2003-EP8496	W 20030729
EP 1539748	A1	20050615	EP 2003-766385	20030729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
			GB 2002-17751	A 20020731
			GB 2003-14698	A 20030624
			WO 2003-EP8496	W 20030729
JP 2005539000	T	20051222	JP 2004-525405	20030729
			GB 2002-17751	A 20020731
			GB 2003-14698	A 20030624
			WO 2003-EP8496	W 20030729
US 2005245520	A1	20051103	US 2005-522969	20050131
			GB 2002-17751	A 20020731
			GB 2003-14698	A 20030624
			WO 2003-EP8496	W 20030729

OS MARPAT 140:181331
ED Entered STN: 13 Feb 2004
GI

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



I



II

AB This invention relates to novel 2-phenylpyridin-4-yl heterocycles (shown as I; variables defined below; e.g. II) that are inhibitors of the transforming growth factor, ('TGF')-β signaling pathway, in particular, the phosphorylation of Smad-2 or Smad-3 by the TGF-β type I or activin-like kinase ('ALK')-5 receptor, methods for their preparation and
their use in medicine, specifically in the treatment and prevention of a disease state mediated by this pathway, e.g. fibrosis (no data). All examples of I show ALK-5 receptor modulator activity (having IC50 values at 0.4-275 nM) and TGF-β cellular activity (having IC50 values at 0.001-10 μM). 4-[4-[4-[2-tert-Butyl-5-(6-methylpyridin-2-yl)-1H-imidazol-4-yl]pyridin-2-yl]phenyl]morpholine showed an ALK-5 receptor modulator activity of 34 nM and TGF-β cellular activity of 183 nM. N-(tetrahydropyran-4-yl)-4-[4-[2-isopropyl-5-(6-methylpyridin-2-yl)-1H-imidazol-4-yl]pyridin-2-yl]benzamide showed an ALK-5 receptor modulator activity of 25 nM and TGF-β cellular activity of <14 nM. Although the methods of preparation are not claimed, >150 example prepns. of I and .apprx.130 example prepns. of intermediates are included. For example,
II
was prepared in 37% yield by reacting 4-[4-[3-(6-methylpyridin-2-yl)-1-trityl-1H-pyrazol-4-yl]pyridin-2-yl]phenol and NaH in DMF with 1-methyl-4-hydroxymethylimidazole followed by removal of the trityl group using HCl in MeOH; details are also given for preparation of the reactants.
For I: A is furan, dioxolane, thiophene, pyrrole, imidazole, pyrrolidine, pyran, pyridine, pyrimidine, morpholine, piperidine, oxazole, isoxazole, oxazoline, oxazolidine, thiazole, isothiazole, thiadiazole, benzofuran, indole, isoindole, indazole, imidazopyridine, quinazoline, quinoline,

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
isoquinoline, pyrazole or triazole; X is N or CH; R1 is H, C1-6alkyl, C1-6alkenyl, C1-6alkoxy, halo, cyano, perfluoro C1-6alkyl, perfluoroC1-6alkoxy, -NR5R6, -(CH2)nNR5R6, -O(CH2)nOR7, -O(CH2)n-Het, -O(CH2)nNR5R6, -CONR5R6, -CO(CH2)nNR5R6, -SO2R7, -SO2NR5R6, -NR5SO2R7, -NR5COR7, -O(CH2)nCONR5R6, -NR5CO(CH2)nNR5R6 or -C(O)R7; R2 is H, C1-6alkyl, halo, cyano or perfluoroC1-6alkyl; R3 is H or halo; R4 is H, halo, Ph, C1-6alkyl or -NR5R6; addnl. details including provisos are given
in the claims.
IT 656257-88-4P, 5-[2-[4-(Morpholin-4-yl)phenyl]pyridin-4-yl]-4-(pyridin-2-yl)-1,3-thiazol-2-amine 656257-89-5P,

5-[2-[4-(Methanesulfonyl)phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656257-90-8P, 5-[2-[4-(4-Ethylpiperazin-1-yl)phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656257-91-9P, 5-[2-[4-(Morpholin-4-yl)phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656257-92-0P,

5-[2-[4-[(Morpholin-4-yl)carbonyl]phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656257-93-1P, 5-[2-[4-[[(Tetrahydropyran-4-yl)amino]carbonyl]phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656257-94-2P,

5-[2-[4-[(Morpholin-4-yl)methyl]phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656257-95-3P, 5-[2-(4-Methoxyphenyl)pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656257-96-4P, 5-[2-[4-(Trifluoromethoxy)phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656257-97-5P, 5-[2-[4-(Aminocarbonylmethoxy)phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656257-98-6P, 5-[2-[4-[2-(Pyrrolidin-1-yl)ethoxy]phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656257-99-7P, 5-[2-[4-[(1-Methylimidazol-4-yl)methoxy]phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-16-1P, 5-[2-[4-[(Isopropylamino)methyl]phenyl]pyridin-4-yl]-4-(pyridin-2-yl)-1,3-thiazol-2-amine 656258-17-2P,

5-[2-[4-[(Pyrrolidin-1-yl)methyl]phenyl]pyridin-4-yl]-4-(pyridin-2-yl)-1,3-thiazol-2-amine 656258-18-3P, 5-[2-[4-[(Cyclobutylamino)methyl]phenyl]pyridin-4-yl]-4-(pyridin-2-yl)-1,3-thiazol-2-amine 656258-19-4P, 5-[2-[4-[(5-Methylisoxazol-3-yl)methoxy]phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-20-7P, 5-[2-[4-[(3,5-Dimethylisoxazol-4-yl)methoxy]phenyl]pyridin-4-yl]-4-(pyridin-2-yl)-1,3-thiazol-2-amine 656258-21-8P, 5-[2-[4-[[(Morpholin-4-yl)carbonyl]methoxy]phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-22-9P, 5-[2-[4-[[(Morpholin-4-yl)carbonyl]methoxy]phenyl]pyridin-4-yl]-4-(pyridin-2-yl)-1,3-thiazol-2-amine 656258-25-2P, 5-[2-[4-[(4-Ethylpiperazin-1-yl)carbonyl]phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-27-4P, 5-[2-[4-[[(Cyclohexyl)(methyl)amino]carbonyl]phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-28-5P, 5-[2-[4-[(4-Methylpiperidin-1-yl)carbonyl]phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-29-6P,

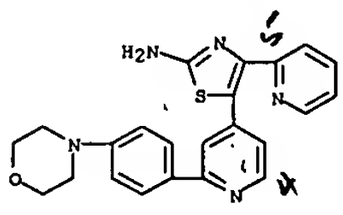
5-[2-[4-[[[3-(Dimethylamino)propyl](methyl)amino]carbonyl]phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-30-9P, 5-[2-[4-[(4-Isopropylpiperazin-1-yl)carbonyl]phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-32-1P,

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
5-[2-[4-[[(3-Methoxypropyl)amino]carbonyl]phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-33-2P,

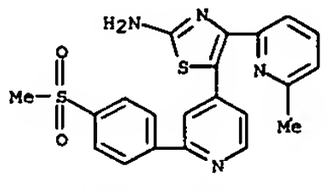
5-[2-[4-[[[2-(Diethylamino)ethyl]amino]carbonyl]phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-34-3P,

5-[2-[4-[[[1-(Methoxymethyl)ethyl]amino]carbonyl]phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-35-4P, 5-[2-[4-[[[(Tetrahydrofuran-2-yl)methyl]amino]carbonyl]phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656258-36-5P, 5-[2-[4-[[(2-Methoxyethyl)amino]carbonyl]phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 657399-56-9P,

5-[2-[4-[[[2-(Pyrrolidin-1-yl)ethyl]amino]carbonyl]phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 657399-57-0P, 5-[2-[4-[[(2-Cyanoethyl)amino]carbonyl]phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of 2-phenylpyridin-4-yl heterocycles as selective activin-like kinase-5 inhibitors useful against fibrosis and other disorders)
RN 656257-88-4 CAPLUS
CN 2-Thiazolamine, 5-[2-[4-(4-morpholinyl)phenyl]-4-pyridinyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

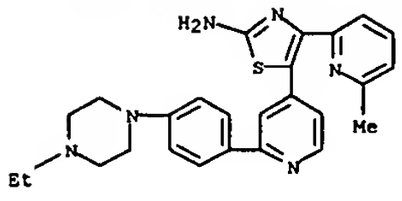


RN 656257-89-5 CAPLUS
CN 2-Thiazolamine, 4-(6-methyl-2-pyridinyl)-5-[2-[4-(methylsulfonyl)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

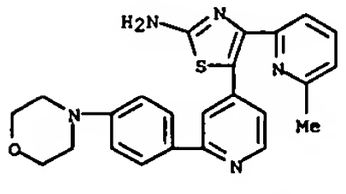


RN 656257-90-8 CAPLUS
CN 2-Thiazolamine, 5-[2-[4-(4-ethyl-1-piperazinyl)phenyl]-4-pyridinyl]-4-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

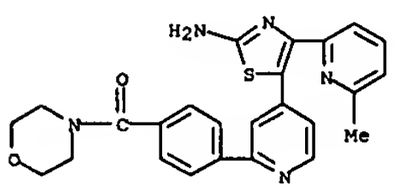
L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



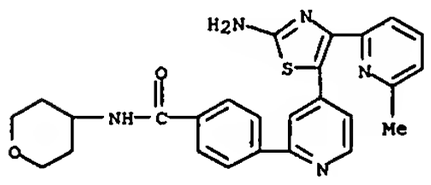
RN 656257-91-9 CAPLUS
CN 2-Thiazolamine, 4-(6-methyl-2-pyridinyl)-5-[2-[4-(4-morpholinyl)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)



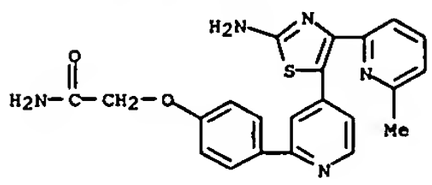
RN 656257-92-0 CAPLUS
CN Morpholine, 4-[4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]benzoyl]- (9CI) (CA INDEX NAME)



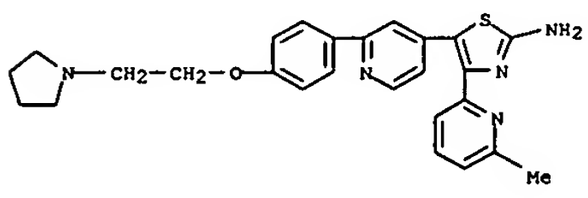
RN 656257-93-1 CAPLUS
CN Benzamide, 4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]-N-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)



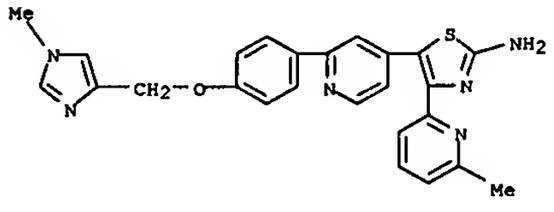
L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



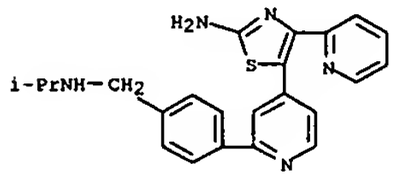
RN 656257-98-6 CAPLUS
CN 2-Thiazolamine, 4-(6-methyl-2-pyridinyl)-5-[2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)



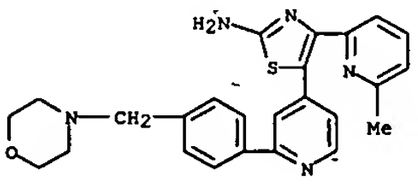
RN 656257-99-7 CAPLUS
CN 2-Thiazolamine, 5-[2-[4-[(1-methyl-1H-imidazol-4-yl)methoxy]phenyl]-4-pyridinyl]-4-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



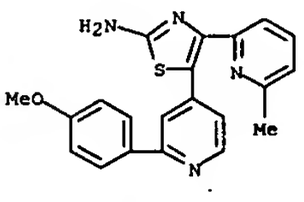
RN 656258-16-1 CAPLUS
CN 2-Thiazolamine, 5-[2-[4-[[(1-methylethyl)amino]methyl]phenyl]-4-pyridinyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



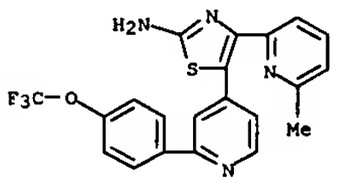
RN 656257-94-2 CAPLUS
CN 2-Thiazolamine, 4-(6-methyl-2-pyridinyl)-5-[2-[4-(4-morpholinylmethyl)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)



RN 656257-95-3 CAPLUS
CN 2-Thiazolamine, 5-[2-(4-methoxyphenyl)-4-pyridinyl]-4-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

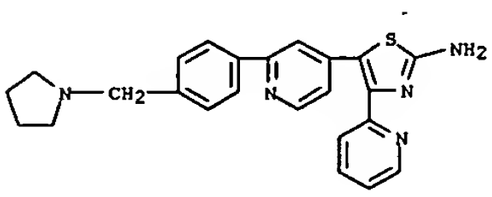


RN 656257-96-4 CAPLUS
CN 2-Thiazolamine, 4-(6-methyl-2-pyridinyl)-5-[2-[4-(trifluoromethoxy)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

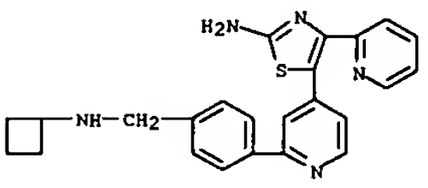


RN 656257-97-5 CAPLUS
CN Acetamide, 2-[4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]phenoxy]- (9CI) (CA INDEX NAME)

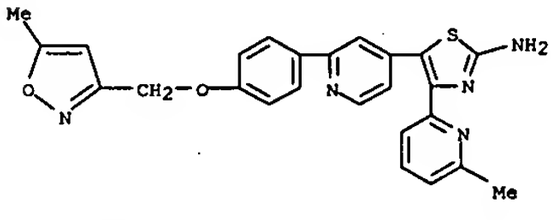
L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 2-Thiazolamine, 4-(2-pyridinyl)-5-[2-[4-(1-pyrrolidinylmethyl)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)



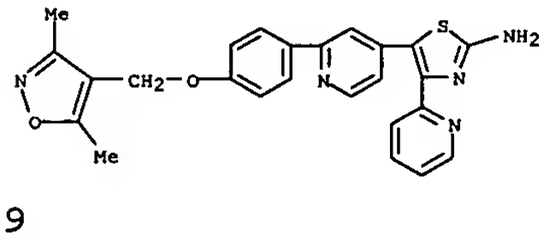
RN 656258-18-3 CAPLUS
CN 2-Thiazolamine, 5-[2-[4-[(cyclobutylamino)methyl]phenyl]-4-pyridinyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 656258-19-4 CAPLUS
CN 2-Thiazolamine, 5-[2-[4-[(5-methyl-3-isoxazolyl)methoxy]phenyl]-4-pyridinyl]-4-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

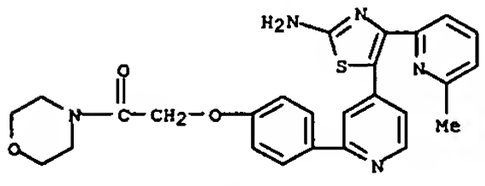


RN 656258-20-7 CAPLUS
CN 2-Thiazolamine, 5-[2-[4-[(3,5-dimethyl-4-isoxazolyl)methoxy]phenyl]-4-pyridinyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

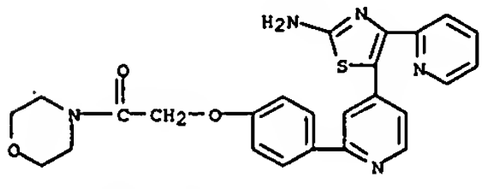


L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

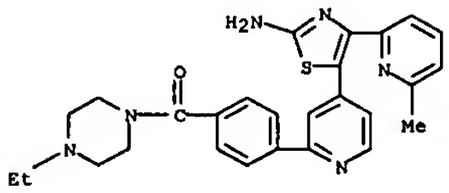
RN 656258-21-8 CAPLUS
CN Morpholine, 4-[[4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]phenoxy]acetyl]- (9CI) (CA INDEX NAME)



RN 656258-22-9 CAPLUS
CN Morpholine, 4-[[4-[4-[2-amino-4-(2-pyridinyl)-5-thiazolyl]-2-pyridinyl]phenoxy]acetyl]- (9CI) (CA INDEX NAME)



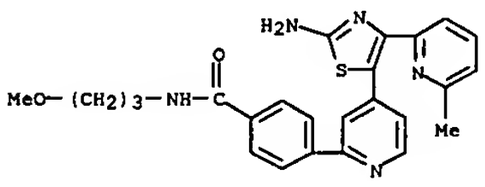
RN 656258-25-2 CAPLUS
CN Piperazine, 1-[4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]benzoyl]-4-ethyl- (9CI) (CA INDEX NAME)



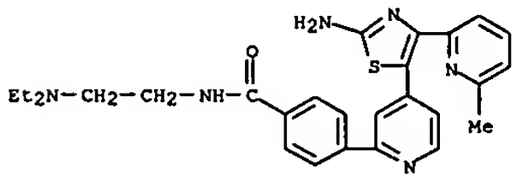
RN 656258-27-4 CAPLUS
CN Benzamide, 4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]-N-cyclohexyl-N-methyl- (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

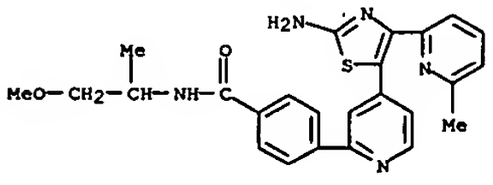
RN 656258-32-1 CAPLUS
CN Benzamide, 4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]-N-(3-methoxypropyl)- (9CI) (CA INDEX NAME)



RN 656258-33-2 CAPLUS
CN Benzamide, 4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]-N-[2-(diethylamino)ethyl]- (9CI) (CA INDEX NAME)

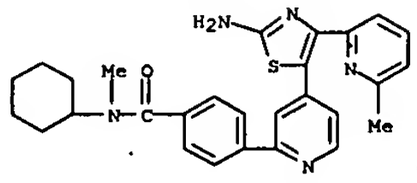


RN 656258-34-3 CAPLUS
CN Benzamide, 4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]-N-(2-methoxy-1-methylethyl)- (9CI) (CA INDEX NAME)

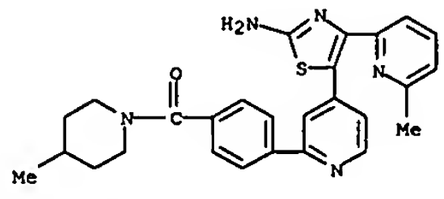


RN 656258-35-4 CAPLUS
CN Benzamide, 4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]-N-[(tetrahydro-2-furanyl)methyl]- (9CI) (CA INDEX NAME)

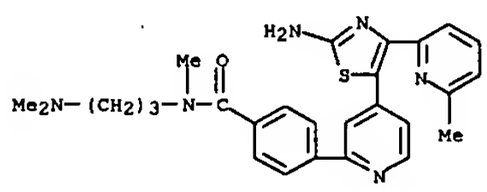
L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



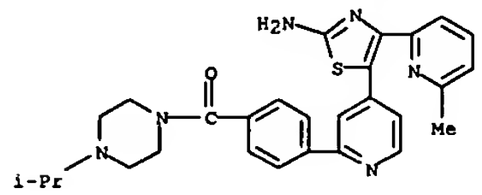
RN 656258-28-5 CAPLUS
CN Piperidine, 1-[4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)



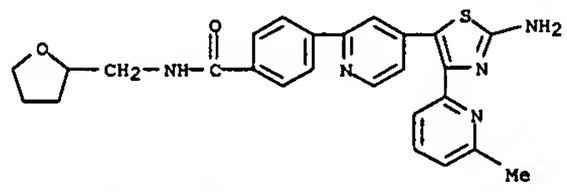
RN 656258-29-6 CAPLUS
CN Benzamide, 4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]-N-[3-(dimethylamino)propyl]-N-methyl~ (9CI) (CA INDEX NAME)



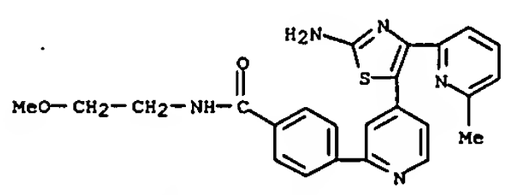
RN 656258-30-9 CAPLUS
CN Piperazine, 1-[4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]benzoyl]-4-(1-methylethyl)- (9CI) (CA INDEX NAME)



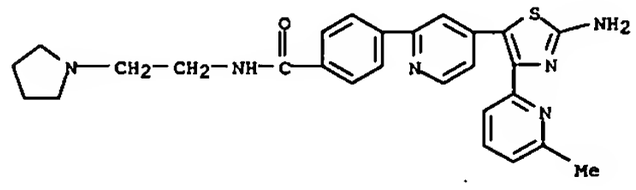
L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



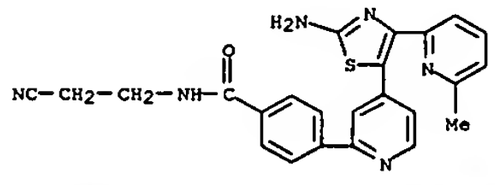
RN 656258-36-5 CAPLUS
CN Benzamide, 4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



RN 657399-56-9 CAPLUS
CN Benzamide, 4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

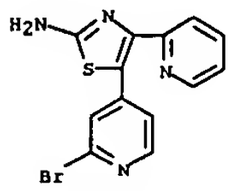


RN 657399-57-0 CAPLUS
CN Benzamide, 4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]-N-(2-cyanoethyl)- (9CI) (CA INDEX NAME)

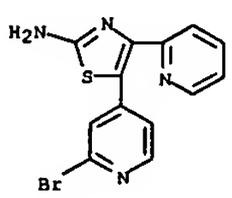


IT 446852-67-1DP, Rink Argopore resin-bound 446852-67-1P, 5-(2-Bromo-4-pyridinyl)-4-(2-pyridinyl)-1,3-thiazol-2-amine
656257-87-3DP, Rink Argopore resin-bound 656257-87-3P,

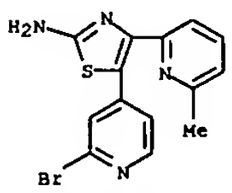
L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
5-(2-Bromo-4-pyridinyl)-4-(6-methyl-2-pyridinyl)-1,3-thiazol-2-amine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of 2-phenylpyridin-4-yl heterocycles as selective activin-like kinase-5 inhibitors useful against fibrosis and other disorders)
RN 446852-67-1 CAPLUS
CN 2-Thiazolamine, 5-(2-bromo-4-pyridinyl)-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 446852-67-1 CAPLUS
CN 2-Thiazolamine, 5-(2-bromo-4-pyridinyl)-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

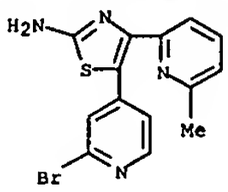


RN 656257-87-3 CAPLUS
CN 2-Thiazolamine, 5-(2-bromo-4-pyridinyl)-4-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 656257-87-3 CAPLUS
CN 2-Thiazolamine, 5-(2-bromo-4-pyridinyl)-4-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:120850 CAPLUS
DN 140:163858
TI Preparation of aminothiazoles as inhibitors of the transforming growth factor-beta (TGF-β) signalling pathway
IN Dodic, Nerina; Gellibert, Francoise Jeanne
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

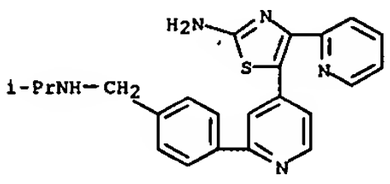
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004013134	A2	20040212	WO 2003-EP8385	20030729
WO 2004013134	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			GB 2002-17787	A 20020731
AU 2003255322	A1	20040223	AU 2003-255322	20030729
			GB 2002-17787	A 20020731
			WO 2003-EP8385	W 20030729
EP 1554275	A2	20050720	EP 2003-766352	20030729
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
			GB 2002-17787	A 20020731
			WO 2003-EP8385	W 20030729
JP 2005538996	T	20051222	JP 2004-525372	20030729
			GB 2002-17787	A 20020731
			WO 2003-EP8385	W 20030729
US 2006004051	A1	20060105	US 2005-522968	20050131
			GB 2002-17787	A 20020731
			WO 2003-EP8385	W 20030729

OS MARPAT 140:163858
ED Entered STN: 13 Feb 2004
GI

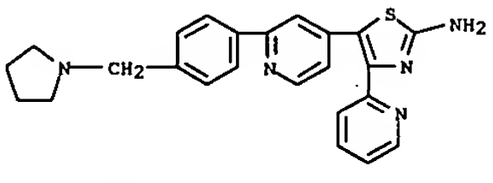
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein either A = S and B = N, or A = N and B = S; X = CH or N; R1 = H, alk(en)yl, perfluoro/alkoxy, halo, CN, perfluoroalkyl, NH2 and derivs., (CH2)nNH2 and derivs., CONH2 and derivs., SO2H and derivs., SO2NH2 and derivs., etc.; R2 = H, perfluoro/alkyl, halo, CN; R3 =
H, halo; R4 = NH2; n = 1-4 with the proviso that certain compds. are not considered] were prepared as inhibitors of the transforming growth factor-beta (TGF-β) signaling pathway, in particular, the phosphorylation of smad2 or smad3 by the TGF-β type I or activin-like kinase-5 (ALK-5) receptor for treatment and prevention of a disease state

L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
mediated by this pathway. For example, II was prepd by reaction of 2-bromo-4-methylpyridine with Me 6-methylpicolinate, Pd-cross coupling with 4-(methoxycarbonyl)phenylboronic acid, hydrolysis, acylation of 4-aminoterahydrofuran with the resulting acid, followed by solid phase cyclocondensation of III with thiourea. II showed an ALK5 receptor modulator activity of 14 nM in an ALK5 fluorescence polarization assay and
TGF-β cellular activity of 29 nM in a cellular transcriptional assay. Thus, I are useful for treating or preventing a disease or condition mediated by ALK-5 inhibition, in particular kidney fibrosis.
IT 656258-16-1P 656258-17-2P 656258-18-3P
656258-19-4P 656258-20-7P 656258-21-8P
656258-22-9P 656258-25-2P 656258-27-4P
656258-28-5P 656258-30-9P 656258-31-0P
656258-32-1P 656258-33-2P 656258-34-3P
656258-35-4P 656258-36-5P 656258-37-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibitor of TGF- signaling pathway; preparation of aminothiazoles as inhibitors of transforming growth factor-beta (TGF-β) signaling pathway)
RN 656258-16-1 CAPLUS
CN 2-Thiazolamine, 5-[2-[4-[[(1-methylethyl)amino]methyl]phenyl]-4-pyridinyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

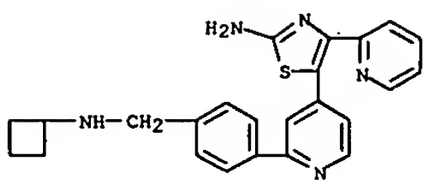


RN 656258-17-2 CAPLUS
CN 2-Thiazolamine, 4-(2-pyridinyl)-5-[2-[4-(1-pyrrolidinylmethyl)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

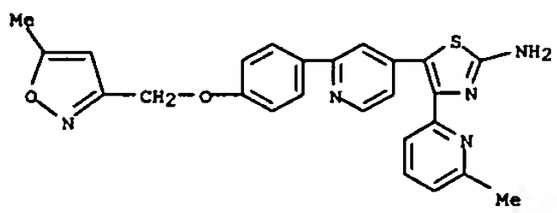


RN 656258-18-3 CAPLUS
CN 2-Thiazolamine, 5-[2-[4-[(cyclobutylamino)methyl]phenyl]-4-pyridinyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

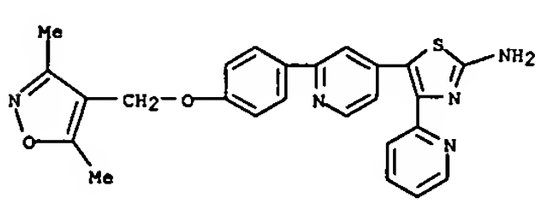
L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 656258-19-4 CAPLUS
CN 2-Thiazolamine, 5-[2-[4-[(5-methyl-3-isoxazolyl)methoxy]phenyl]-4-pyridinyl]-4-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



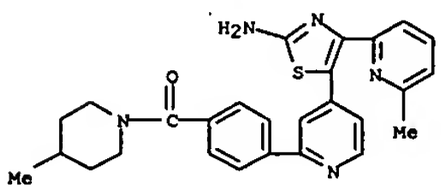
RN 656258-20-7 CAPLUS
CN 2-Thiazolamine, 5-[2-[4-[(3,5-dimethyl-4-isoxazolyl)methoxy]phenyl]-4-pyridinyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



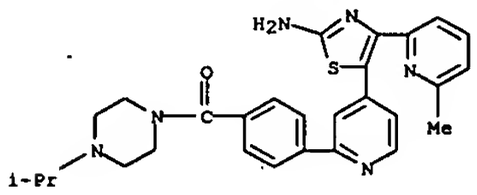
RN 656258-21-8 CAPLUS
CN Morpholine, 4-[[4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]phenoxy]acetyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

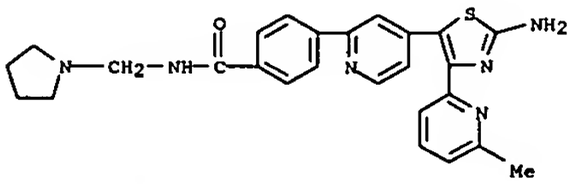
RN 656258-28-5 CAPLUS
CN Piperidine, 1-[4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]benzoyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 656258-30-9 CAPLUS
CN Piperazine, 1-[4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]benzoyl]-4-(1-methylethyl)- (9CI) (CA INDEX NAME)

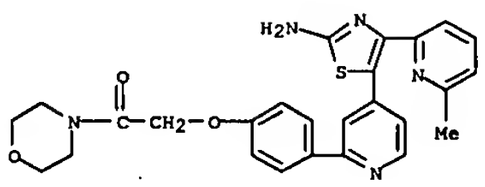


RN 656258-31-0 CAPLUS
CN Benzamide, 4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]-N-(1-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)

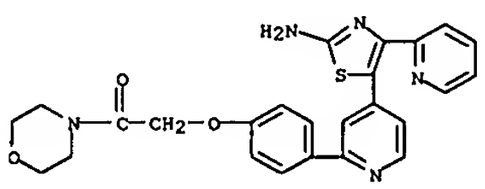


RN 656258-32-1 CAPLUS
CN Benzamide, 4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]-N-(3-methoxypropyl)- (9CI) (CA INDEX NAME)

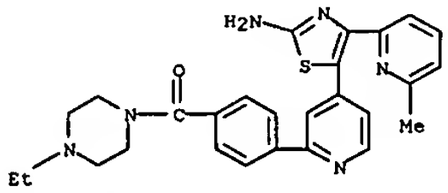
L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



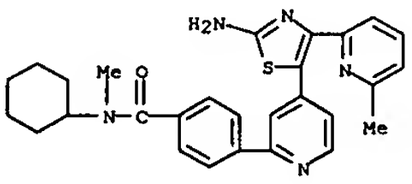
RN 656258-22-9 CAPLUS
CN Morpholine, 4-[[4-[4-[2-amino-4-(2-pyridinyl)-5-thiazolyl]-2-pyridinyl]phenoxy]acetyl]- (9CI) (CA INDEX NAME)



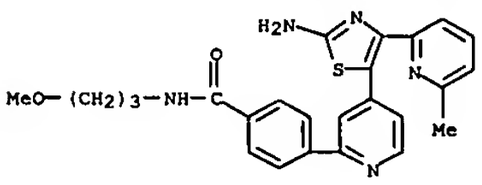
RN 656258-25-2 CAPLUS
CN Piperazine, 1-[4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]benzoyl]-4-ethyl- (9CI) (CA INDEX NAME)



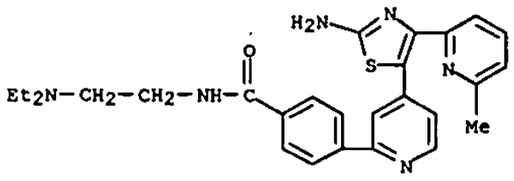
RN 656258-27-4 CAPLUS
CN Benzamide, 4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]-N-cyclohexyl-N-methyl- (9CI) (CA INDEX NAME)



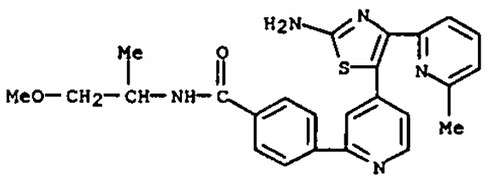
L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



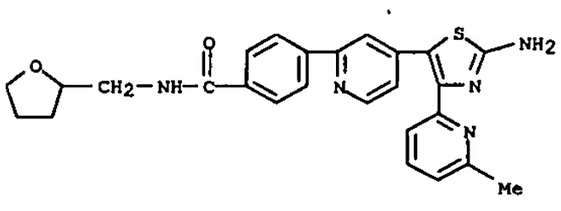
RN 656258-33-2 CAPLUS
CN Benzamide, 4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]-N-[2-(diethylamino)ethyl]- (9CI) (CA INDEX NAME)



RN 656258-34-3 CAPLUS
CN Benzamide, 4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]-N-(2-methoxy-1-methylethyl)- (9CI) (CA INDEX NAME)

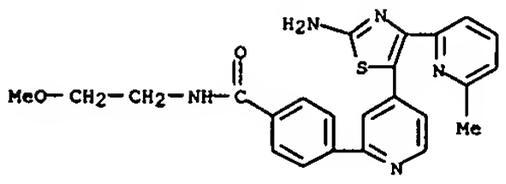


RN 656258-35-4 CAPLUS
CN Benzamide, 4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]-N-[(tetrahydro-2-furanyl)methyl]- (9CI) (CA INDEX NAME)

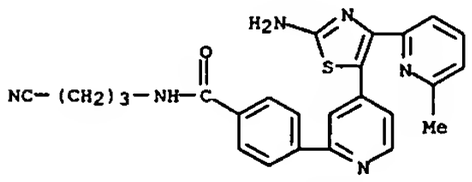


RN 656258-36-5 CAPLUS
CN Benzamide, 4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]-N-(2-methoxyethyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



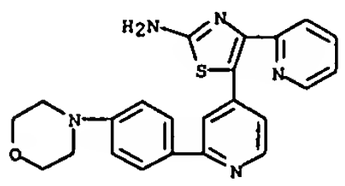
RN 656258-37-6 CAPLUS
CN Benzamide, 4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]-N-(3-cyanopropyl)- (9CI) (CA INDEX NAME)



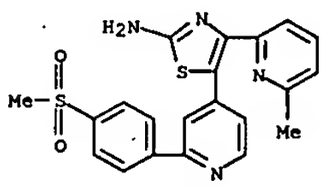
IT 656257-88-4P, 5-[2-[4-(Morpholin-4-yl)phenyl]pyridin-4-yl]-4-(pyridin-2-yl)-1,3-thiazol-2-amine 656257-89-5P,
5-[2-[4-(Methanesulfonyl)phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656257-90-8P, 5-[2-[4-(4-Ethylpiperazin-1-yl)phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656257-91-9P, 5-[2-[4-(Morpholin-4-yl)phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656257-92-0P,
5-[2-[4-[(Morpholin-4-yl)carbonyl]phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656257-93-1P, 5-[2-[4-[[(Tetrahydropyran-4-yl)amino]carbonyl]phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656257-94-2P,
5-[2-[4-[(Morpholin-4-yl)methyl]phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656257-95-3P, 5-[2-(4-Methoxyphenyl)pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656257-96-4P, 5-[2-(4-Trifluoromethoxyphenyl)pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656257-97-5P,
5-[2-[4-[[(Aminocarbonyl)methyl]oxy]phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656257-98-6P,
5-[2-[4-[2-(Pyrrolidin-1-yl)ethoxy]phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine 656257-99-7P, 5-[2-[4-[[(1-Methylimidazol-4-yl)methyl]oxy]phenyl]pyridin-4-yl]-4-(6-methylpyridin-2-yl)-1,3-thiazol-2-amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(Uses)
(inhibitor of TGF-β signaling pathway; prepn. of aminothiazoles as inhibitors of transforming growth factor-beta (TGF-β) signaling pathway)

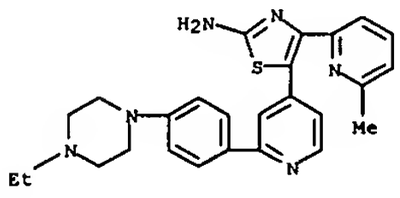
RN 656257-88-4 CAPLUS
CN 2-Thiazolamine, 5-[2-[4-(4-morpholinyl)phenyl]-4-pyridinyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 656257-89-5 CAPLUS
CN 2-Thiazolamine, 4-(6-methyl-2-pyridinyl)-5-[2-[4-(methylsulfonyl)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

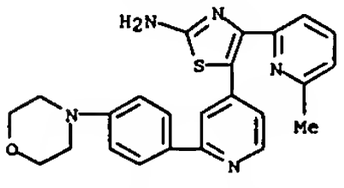


RN 656257-90-8 CAPLUS
CN 2-Thiazolamine, 5-[2-[4-(4-ethyl-1-piperazinyl)phenyl]-4-pyridinyl]-4-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

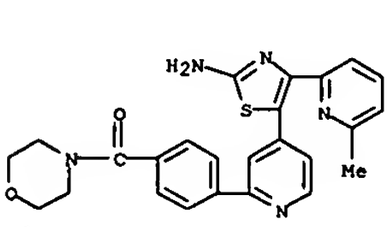


RN 656257-91-9 CAPLUS
CN 2-Thiazolamine, 4-(6-methyl-2-pyridinyl)-5-[2-[4-(4-morpholinyl)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

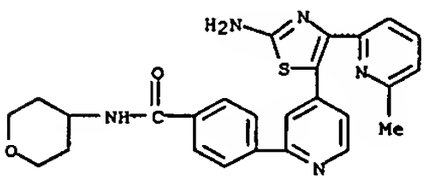
L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



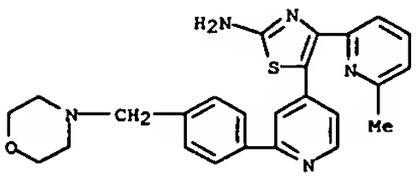
RN 656257-92-0 CAPLUS
CN Morpholine, 4-[4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 656257-93-1 CAPLUS
CN Benzamide, 4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]-N-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

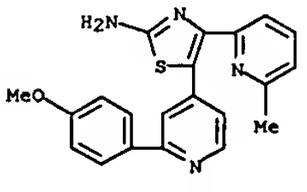


RN 656257-94-2 CAPLUS
CN 2-Thiazolamine, 4-(6-methyl-2-pyridinyl)-5-[2-[4-(4-morpholinylmethyl)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

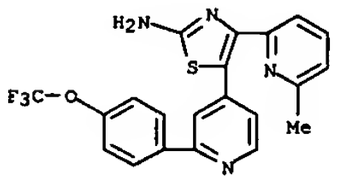


RN 656257-95-3 CAPLUS
CN 2-Thiazolamine, 5-[2-(4-methoxyphenyl)-4-pyridinyl]-4-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

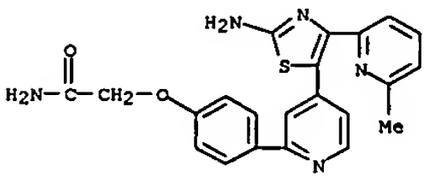
L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



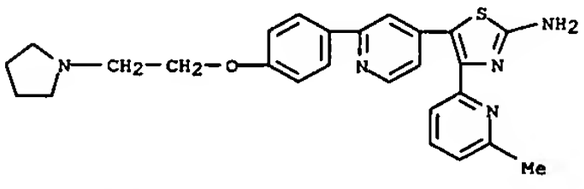
RN 656257-96-4 CAPLUS
CN 2-Thiazolamine, 4-(6-methyl-2-pyridinyl)-5-[2-[4-(trifluoromethoxy)phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)



RN 656257-97-5 CAPLUS
CN Acetamide, 2-[4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]phenoxy]- (9CI) (CA INDEX NAME)

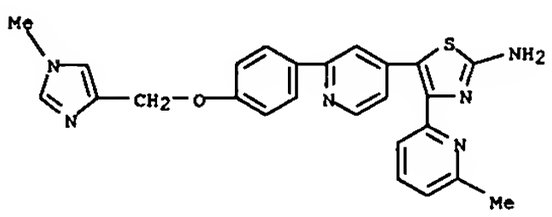


RN 656257-98-6 CAPLUS
CN 2-Thiazolamine, 4-(6-methyl-2-pyridinyl)-5-[2-[4-[2-(1-pyrrolidinyl)ethoxy]phenyl]-4-pyridinyl]- (9CI) (CA INDEX NAME)

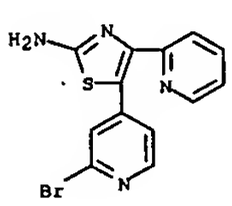


RN 656257-99-7 CAPLUS

L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 2-Thiazolamine, 5-[2-[4-[(1-methyl-1H-imidazol-4-yl)methoxy]phenyl]-4-pyridinyl]-4-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

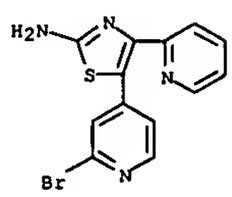


IT 446852-67-1DP, 5-(2-Bromo-4-pyridinyl)-4-(2-pyridinyl)-1,3-thiazol-2-amine, resin-bound 446852-67-1P, 5-(2-Bromo-4-pyridinyl)-4-(2-pyridinyl)-1,3-thiazol-2-amine 656257-87-3DP, 5-(2-Bromo-4-pyridinyl)-4-(6-methyl-2-pyridinyl)-1,3-thiazol-2-amine, resin-bound 656257-87-3P, 5-(2-Bromo-4-pyridinyl)-4-(6-methyl-2-pyridinyl)-1,3-thiazol-2-amine 656258-15-0DP, resin-bound 656258-26-3DP, resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of aminothiazoles as inhibitors of transforming growth factor-beta (TGF-β) signaling pathway)
RN 446852-67-1 CAPLUS
CN 2-Thiazolamine, 5-(2-bromo-4-pyridinyl)-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

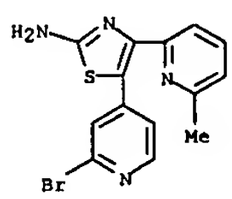


RN 446852-67-1 CAPLUS
CN 2-Thiazolamine, 5-(2-bromo-4-pyridinyl)-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



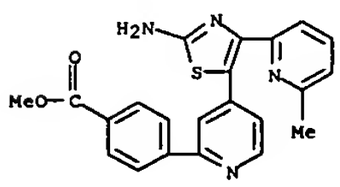
RN 656257-87-3 CAPLUS
CN 2-Thiazolamine, 5-(2-bromo-4-pyridinyl)-4-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



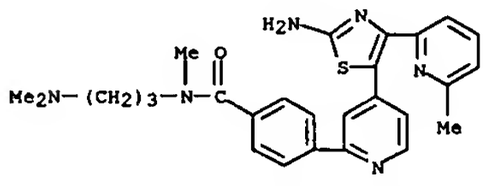
RN 656257-87-3 CAPLUS
CN 2-Thiazolamine, 5-(2-bromo-4-pyridinyl)-4-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

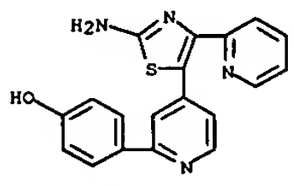
RN 656258-26-3 CAPLUS
CN Benzoic acid, 4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]-, methyl ester (9CI) (CA INDEX NAME)



IT 656258-29-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminothiazoles as inhibitors of transforming growth factor-beta (TGF-β) signaling pathway)
RN 656258-29-6 CAPLUS
CN Benzamide, 4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]-N-[3-(dimethylamino)propyl]-N-methyl- (9CI) (CA INDEX NAME)

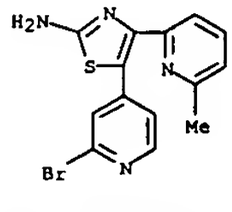


IT 656258-23-0D, resin-bound 656258-24-1D, resin-bound
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aminothiazoles as inhibitors of transforming growth factor-beta (TGF-β) signaling pathway)
RN 656258-23-0 CAPLUS
CN Phenol, 4-[4-[2-amino-4-(2-pyridinyl)-5-thiazolyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

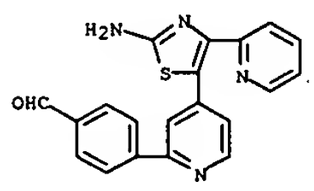


RN 656258-24-1 CAPLUS
CN Phenol, 4-[4-[2-amino-4-(6-methyl-2-pyridinyl)-5-thiazolyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 656258-15-0 CAPLUS
CN Benzaldehyde, 4-[4-[2-amino-4-(2-pyridinyl)-5-thiazolyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

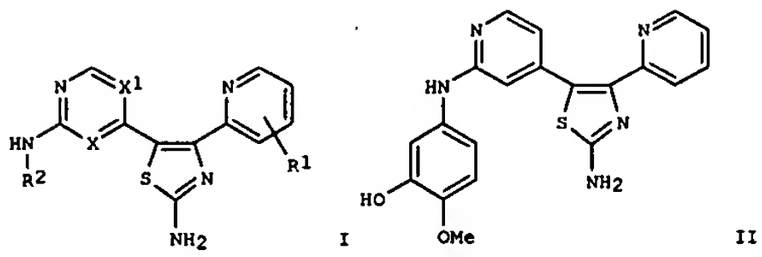


L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

L6 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:615609 CAPLUS
DN 137:169512
TI Preparation of thiazoles as TGF-β inhibitors
IN Gellibert, Francoise Jeanne
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002062793	A1	20020815	WO 2002-EP991	20020131
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			GB 2001-2673	A 20010202
EP 1366047	A1	20031203	EP 2002-710824	20020131
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
			GB 2001-2673	A 20010202
			WO 2002-EP991	W 20020131
JP 2004521903	T	20040722	JP 2002-563146	20020131
			GB 2001-2673	A 20010202
			WO 2002-EP991	W 20020131

OS MARPAT 137:169512
ED Entered STN: 16 Aug 2002
GI

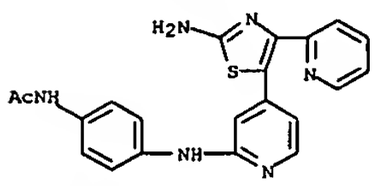


I

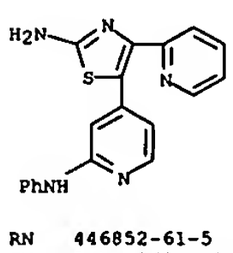
II

AB The title compds. [I; R1 = H, halo, CN, etc.; R2 = (un)substituted (CH2)nPh, (CH2)nheterocyclyl, (CH2)nheteroaryl; n = 0-5; X, X1 = CH, N,

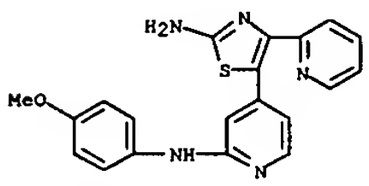
L6 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



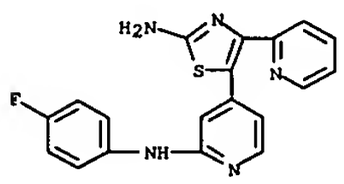
RN 446852-59-1 CAPLUS
CN 2-Pyridinamine, 4-[2-amino-4-(2-pyridinyl)-5-thiazolyl]-N-phenyl- (9CI) (CA INDEX NAME)



RN 446852-61-5 CAPLUS
CN 2-Pyridinamine, 4-[2-amino-4-(2-pyridinyl)-5-thiazolyl]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

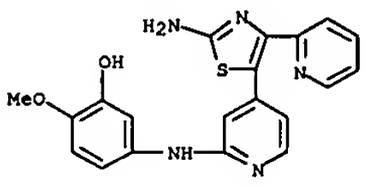


RN 446852-63-7 CAPLUS
CN 2-Pyridinamine, 4-[2-amino-4-(2-pyridinyl)-5-thiazolyl]-N-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

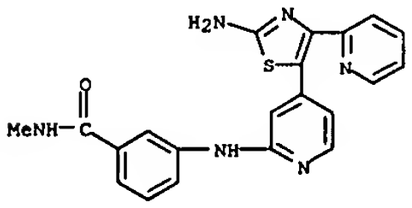


IT 446852-67-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

L6 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
provided that X and X1 are not both N], useful in therapy, particularly in
the treatment or prophylaxis of disorders characterized by over expression
of transforming growth factor β (TGF-β), were prepd. General procedure for the synthesis of compds. I by coupling the bromo aminothiazole resin with arylamine was given. All 3 exemplified compds. I
(e.g., thiazole II) showed IC50 of 5 μM or below in TGF-β assay, and IC50 of 1 μM or below against kinase Alk5.
IT 446852-53-5P 446852-55-7P 446852-57-9P
446852-59-1P 446852-61-5P 446852-63-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazoles as TGF-β inhibitors)
RN 446852-53-5 CAPLUS
CN Phenol, 5-[[4-[2-amino-4-(2-pyridinyl)-5-thiazolyl]-2-pyridinyl]amino]-2-methoxy- (9CI) (CA INDEX NAME)

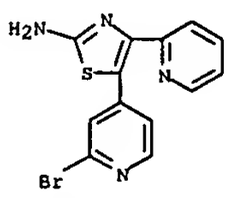


RN 446852-55-7 CAPLUS
CN Benzamide, 3-[[4-[2-amino-4-(2-pyridinyl)-5-thiazolyl]-2-pyridinyl]amino]-N-methyl- (9CI) (CA INDEX NAME)



RN 446852-57-9 CAPLUS
CN Acetamide, N-[4-[[4-[2-amino-4-(2-pyridinyl)-5-thiazolyl]-2-pyridinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

L6 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(Reactant or reagent)
(prepn. of thiazoles as TGF-β inhibitors)
RN 446852-67-1 CAPLUS
CN 2-Thiazolamine, 5-(2-bromo-4-pyridinyl)-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

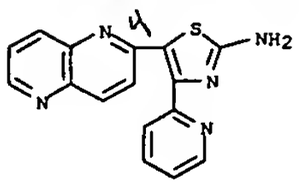
L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:615590 CAPLUS
DN 137:169511
TI Preparation of 2-amino-4-(pyridin-2-yl)-thiazole derivatives as transforming growth factor beta (tgf-beta) inhibitors
IN Gellibert, Francoise Jeanne; Hartley, Charles David; Mathews, Neil; Woolven, James Michael
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

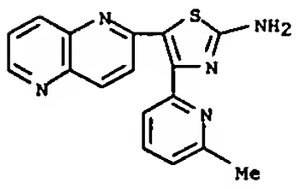
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002062776	A1	20020815	WO 2002-EP940	20020130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			GB 2001-2668	A 20010202
EP 1355892	A1	20031029	EP 2002-710053	20020130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
			GB 2001-2668	A 20010202
			WO 2002-EP940	W 20020130
JP 2004523540	T	20040805	JP 2002-562731	20020130
			GB 2001-2668	A 20010202
			WO 2002-EP940	W 20020130
US 2004063745	A1	20040401	US 2003-470871	20030731
			GB 2001-2668	A 20010202
			WO 2002-EP940	W 20020130

OS CASREACT 137:169511; MARPAT 137:169511
ED Entered STN: 16 Aug 2002
GI

L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

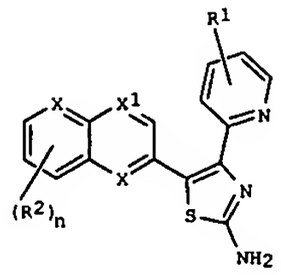


RN 446297-62-7 CAPLUS
CN 2-Thiazolamine, 4-(6-methyl-2-pyridinyl)-5-(1,5-naphthyridin-2-yl)- (9CI) (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



AB The preparation of 2-amino-4-(pyridin-2-yl)-thiazole derivs. [I; wherein R1 = H, halo, CN, CF3, (C1-C4)alkyl, (C1-C4)alkoxy; n = 0-5; R2, which may be the same or different, = halo, CN, CF3, OCF3, (C1-C4)alkyl, (C1-C4)alkoxy; X = CH, N; X1 = N when X is CH, and CH when X is N] is discussed. Thus, 1-pyridin-2-yl-2-quinolin-4-ylethanone is reacted with polymer supported pyridinium, and subsequently with thiourea to give 4-(pyridin-2-yl)-5-quinolin-4-yl-1,3-thiazol-2-amine. The prepared compds. are useful as transforming growth factor beta (tgf-beta) inhibitors.
IT 446297-58-1P 446297-60-5P 446297-62-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-amino-4-(pyridin-2-yl)-thiazole derivs. as transforming growth factor beta (tgf-beta) inhibitors)
RN 446297-58-1 CAPLUS
CN 2-Thiazolamine, 4-(2-pyridinyl)-5-(4-quinolinyl)- (9CI) (CA INDEX NAME)

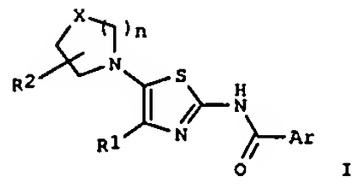
RN 446297-60-5 CAPLUS
CN 2-Thiazolamine, 5-(1,5-naphthyridin-2-yl)-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:615589 CAPLUS
DN 137:169545
TI Preparation of 2-acylaminothiazole derivatives or their salts as promoters of megakaryocyte colony formation
IN Koshio, Hiroyuki; Kimizuka, Tetsuya; Sugasawa, Keizo; Watanuki, Susumu; Koga, Yuji; Nagata, Hiroshi; Suzuki, Kenichi; Abe, Masaki
PA Yamanouchi Pharmaceutical Co., Ltd., Japan
SO PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002062775	A1	20020815	WO 2002-JP755	20020131
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			JP 2001-26955	A 20010202
EP 1357116	A1	20031029	EP 2002-711252	20020131
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
			JP 2001-26955	A 20010202
			WO 2002-JP755	W 20020131
US 2004077697	A1	20040422	US 2003-470917	20030801
			JP 2001-26955	A 20010202
			WO 2002-JP755	W 20020131

OS MARPAT 137:169545
ED Entered STN: 16 Aug 2002
GI

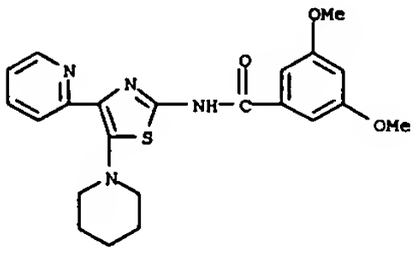


AB The title compds. [I; Ar = Ph or pyridinyl optionally substituted by ≥1 group(s) selected from lower alkyl, lower alkylcarbonyl, lower alkoxycarbonyl, HO, lower alkoxy, lower alkylcarbonyloxy, and halo; R1 = aryl or pyridyl optionally substituted by ≥1 group(s) selected from lower alkyl, lower alkylcarbonyl, lower alkoxycarbonyl, HO, lower alkoxy, lower alkylcarbonyloxy, and halo; R2 = H, OH, CO2H, lower

L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
alkyloxycarbonyl, mono- or di(lower alkyl)carbamoyl, amino, or cyclic amino, wherein more than 1 of R2 may be present; X = CH2, O, S, NR3; R3 = (un)substituted lower alkyl, cycloalkyl, (un)substituted aryl, (un)substituted aryl-lower alkyl, (un)substituted heteroaryl, (un)substituted heteroaryl-lower alkyl, lower alkylcarbonyl, lower alkoxycarbonyl, mono- or di(lower alkyl)carbamoyl) or pharmaceutically acceptable salts thereof are prepd. These compds. I have an activity of increasing platelets based on an excellent effect of accelerating megakaryocyte colony formation and are efficacious in treating thrombopenia. Thus, 680 mg 2-methoxyisonicotinic acid and 1.02 g 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride were added to a soln. of 1.60 g 2-amino-4-(4-fluorophenyl)-5-(4-cyclohexylpiperazino)thiazole in 30 mL THF and stirred at room temp. for 4
days to give
N-[5-(4-cyclohexylpiperazi-1-yl)-4-(4-fluorophenyl)thiazol-2-yl]-2-methoxyisonicotinamide hydrochloride (II). II in vitro increased the formation of megakaryocyte colonies of human CD34+ cells from 5.2 at 0.3 μM to 19.0 and 34.8 at 1.0 and 3.0 μM, resp.

IT 446066-02-0P, N-[5-(Piperidin-1-yl)-4-(2-pyridyl)thiazol-2-yl]-3,5-dimethoxybenzamide hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylaminothiazole derivs. or salts as promoters of megakaryocyte colony formation for increasing blood platelets and treating thrombopenia)

RN 446066-02-0 CAPLUS
CN Benzamide, 3,5-dimethoxy-N-[5-(1-piperidinyl)-4-(2-pyridinyl)-2-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)

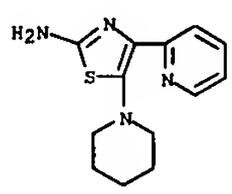


● HCl

IT 446065-54-9P, 2-Amino-5-(piperidin-1-yl)-4-(2-pyridyl)thiazole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of acylaminothiazole derivs. or salts as promoters of

L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
megakaryocyte colony formation for increasing blood platelets and treating thrombopenia)

RN 446065-54-9 CAPLUS
CN 2-Thiazolamine, 5-(1-piperidinyl)-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

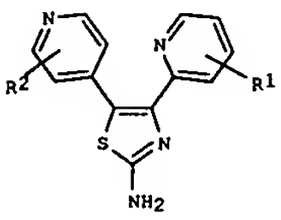


RE.CNT 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:615565 CAPLUS
DN 137:169509
TI Syntheses of thiazolamines and their use as TGF-beta inhibitors
IN Gellibert, Francoise Jeanne
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002062753	A1	20020815	WO 2002-EP993	20020131
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
			GB 2001-2665	A 20010202
EP 1355870	A1	20031029	EP 2002-718067	20020131
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
			GB 2001-2665	A 20010202
			WO 2002-EP993	W 20020131
JP 2004524302	T	20040812	JP 2002-562711	20020131
			GB 2001-2665	A 20010202
			WO 2002-EP993	W 20020131
US 2004077687	A1	20040422	US 2003-470882	20030731
			WO 2002-EP993	W 20020131

OS MARPAT 137:169509
ED Entered STN: 16 Aug 2002
GI



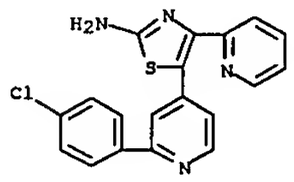
I

AB The patent relates to therapeutically active thiazole derivs. of formula (I) wherein R1 is selected from H, halo, -CN, -CF3, C1 alkyl or C,4 alkoxy; R2 is selected from Ph, furanyl or thienyl, each of which may be further substituted by one or more substituents, which may be the same or different, selected from halo, -CN, -CF3, -OCF3, C14 alkyl or C14 alkoxy,

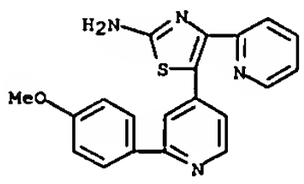
L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
and salts and solvates thereof., processes for the prepn. thereof, the use
thereof in therapy, particularly in the treatment or prophylaxis of disorders characterized by over expression of transforming growth factor β (TGF-β), and pharmaceutical compns. for use in such therapy. Thus, 5-[2-(4-Chlorophenyl)pyridin-4-yl]-4-pyridin-2-yl-1,3-thiazol-2-amine prepd. from 2-[2-(4-Chlorophenyl)pyridin-4-yl]-1-pyridin-2-ylethanone in THF catalyzed by a polymer supported pyridinium perbromide was tested in vitro using a biol. assay which was performed in HepG2 cells
stably transfected with the PAl-1-promoter (known to be a strong TGF-P3 responsive promoter) linked to a luciferase (firefly) reporter gene and showed an IC50 value of below 5 μM.

IT 446301-78-6P 446301-80-0P 446301-82-2P
446301-84-4P 446301-86-6P 446301-88-8P
RL: IMF (Industrial manufacture); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazolamines and use as TGF-beta inhibitors)

RN 446301-78-6 CAPLUS
CN 2-Thiazolamine, 5-[2-(4-chlorophenyl)-4-pyridinyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

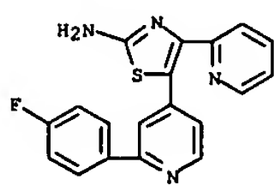


RN 446301-80-0 CAPLUS
CN 2-Thiazolamine, 5-[2-(4-methoxyphenyl)-4-pyridinyl]-4-(2-pyridinyl)- (9CI)
(CA INDEX NAME)

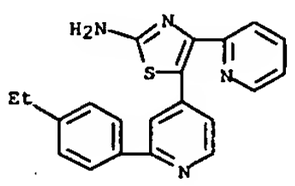


RN 446301-82-2 CAPLUS
CN 2-Thiazolamine, 5-[2-(4-fluorophenyl)-4-pyridinyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)

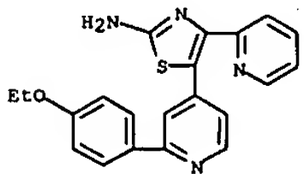
L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



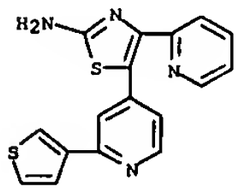
RN 446301-84-4 CAPLUS
CN 2-Thiazolamine, 5-[2-(4-ethylphenyl)-4-pyridinyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



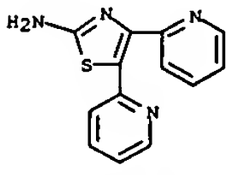
RN 446301-86-6 CAPLUS
CN 2-Thiazolamine, 5-[2-(4-ethoxyphenyl)-4-pyridinyl]-4-(2-pyridinyl)- (9CI) (CA INDEX NAME)



RN 446301-88-8 CAPLUS
CN 2-Thiazolamine, 4-(2-pyridinyl)-5-[2-(3-thienyl)-4-pyridinyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1971:22749 CAPLUS
DN 74:22749
TI Synthesis of pyridyl- and quinolyl-substituted 2-aminothiazoles
AU Taurins, Alfred; Blaga, Aurel
CS Dep. Chem., McGill Univ., Montreal, QC, Can.
SO Journal of Heterocyclic Chemistry (1970), 7(5), 1137-41
CODEN: JHTCAD; ISSN: 0022-152X
DT Journal
LA English
ED Entered STN: 12 May 1984
AB Five 2-amino-4-(x-pyridyl)- and 2-amino-4-(x-quinolyl)thiazoles (x = 2 or 3) were synthesized by the condensation of thiourea with bromoacetylpyridines and -quinolines. The reaction of pyridyl pyridylmethyl ketones with thiourea and halogens produced four 2-aminothiazoles possessing pyridyl substituents in 4- and 5-positions on the thiazole ring. Treatment of N-(3-pyridyl)- and N-(3-quinolyl)thiourea with α-bromo ketones gave seven 2-(3-pyridyl)amino- and 2-(3-quinolyl)aminothiazoles. The uv spectra of the pyridyl- and quinolyl-substituted 2-aminothiazoles were recorded.
IT 30235-32-6P 30235-34-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 30235-32-6 CAPLUS
CN Pyridine, 2,2'-(2-amino-4,5-thiazolediyl)di- (8CI) (CA INDEX NAME)



RN 30235-34-8 CAPLUS
CN Pyridine, 2-[2-amino-5-(4-pyridyl)-4-thiazolyl]- (8CI) (CA INDEX NAME)